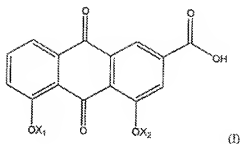


In the Claims

This listing of claims will replace all prior versions and listings of claims in this application.

1 (currently amended). A compound of general formula (I):



wherein  $X_1$  is H or  $COR_1$  and  $X_2$  is H or  $COR_2$  but  $X_1$  and  $X_2$  are not both  $H_2$

$R_1$  and  $R_2$  are the same or different and are each  $C_{1-4}$  alkyl substituted with  $R_3$ , or a four to seven-membered ring which ~~can be optionally~~ is substituted with  $R_3$  and ~~can contain or which~~ contains one or more additional heteroatoms selected from O,  $S(O)_n$  and  $NR_9$ ;

$R_3$  is F,  $CF_3$ ,  $OR_4$ ,  $NR_5R_6$  or  $S(O)_nR_7$ ;

$R_4$ ,  $R_5$ , and  $R_6$  are the same or different and are each H or  $C_{1-4}$  alkyl optionally substituted with  $R_3$ , or  $NR_5R_6$  is a  $C_{3-4}$  heterocycloalkyl ring containing one or more heteroatoms selected from O,  $NR_8$  and  $S(O)_n$ ;

each n is 0-2;

$R_7$  is  $C_{1-4}$  alkyl;

$R_8$  is as defined for  $R_3$  or  $C_{1-4}$  alkyl optionally substituted with  $R_3$  or halogen;

and

$R_9$  is H or  $C_{1-4}$  alkyl;

or a salt, solvate or hydrate thereof.

FIGURE 1 PCT-TREATMENT DOCUMENT

## Author Search

⇒ FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 16:51:42 ON 15 DEC 2008

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 15 Dec 2008 VOL 149 ISS 25

FILE LAST UPDATED: 14 Dec 2008 (20081214/ED)

HCAPlus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

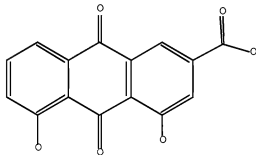
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

⇒ D STAT QUE L50

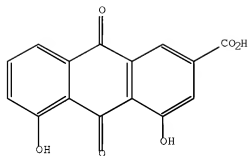
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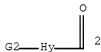
L42 STR



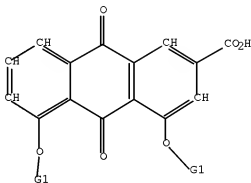
G1 H

Structure attributes must be viewed using STN Express query preparation.

L43 ( 34)SEA FILE=REGISTRY SUB=L41 SSS FUL L42  
L44 STR



N 4 Ak-S 3



G1 H, [01], [02]

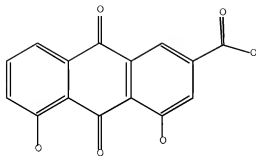
G2 O, F, CF3, [03], [04]

Structure attributes must be viewed using STN Express query preparation.

L45 ( 16)SEA FILE=REGISTRY SUB=L41 SSS FUL L44  
L46 ( 2)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L45 NOT L43  
L47 ( 1)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L46  
L48 ( 558)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON BAXTER A?/AU  
L49 ( 0)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON WALMSEY A?/AU  
L50 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L48 OR L49) AND L47

⇒ D STAT QUE L81

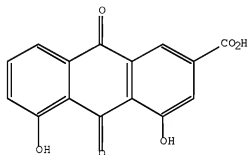
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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        OR 57371-37-6/BI OR 61882-39-1/BI OR 69595-02-4/BI OR
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L63      6 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L61 NOT L62
L67     176 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L63
L72      STR
  
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G1 H

Structure attributes must be viewed using STN Express query preparation.

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L74     34 SEA FILE=REGISTRY SUB=L2 SSS FUL L72
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        AY<=2004 OR PY<=2004)
L79     558 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON BAXTER A?/AU
L80      0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON WALMSEY A?/AU
L81      2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L79 OR L80) AND L78
  
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=> S L50,L81

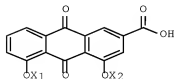
L91 3 (L50 OR L81)

⇒ D IBIB ED ABS HITSTR L91 1-3

L91 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1004687 HCAPLUS Full-text  
 DOCUMENT NUMBER: 143:306044  
 TITLE: Preparation of ester derivatives of rhein as  
 anti-inflammatory agents  
 INVENTOR(S): Eaxter, Andrew Douglas; Walmsley, Andrea  
 PATENT ASSIGNEE(S): Arakis Ltd., UK  
 SOURCE: PCT Int. Appl., 20 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085170	A1	20050915	WO 2005-GB832	20050304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005219642	A1	20050915	AU 2005-219642	20050304
CA 2558082	A1	20050915	CA 2005-2558082	20050304
EP 1723097	A1	20061122	EP 2005-726943	20050304
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1938258	A	20070328	CN 2005-80009964	20050304
BR 2005008352	A	20070731	BR 2005-8352	20050304
JP 2007526293	T	20070913	JP 2007-501352	20050304
IN 2006DN04999	A	20070817	IN 2006-DN4999	20060830
MX 2006PA10058	A	20070510	MX 2006-PA10058	20060904
NO 2006004031	A	20060915	NO 2006-4031	20060907
KR 2007010142	A	20070122	KR 2006-720192	20060928
US 20070185036	A1	20070809	US 2006-591157	20061006
PRIORITY APPLN. INFO.:			GB 2004-4953	A 20040304
			WO 2005-GB832	W 20050304

OTHER SOURCE(S): CASREACT 143:306044; MARPAT 143:306044  
 ED Entered STN: 16 Sep 2005  
 GI



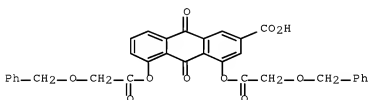
I

AB The title rhein ester derivatives. I (X1 = H, COR1; X2 = COR2; X1 ≠ X2 = H; R1, R2 = C1-C4 alkyl, 4 to 7-membered ring) are prepared and evaluated for their anti-inflammatory activity. For example, diacerein was hydrolyzed to the corresponding dihydroxy compound which was acylated with tetrahydro-4-pyran-4-chloride to give the 4,5-bis(tetrahydropyran-4-carbonyloxy) compound, I (X1 = X2 = COR, R = 4-tetrahydropyran-4-yl).

IT 864652-90-4P 864652-91-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and anti-inflammatory activity of rhein esters)

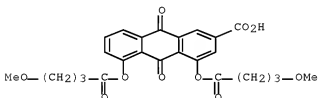
RN 864652-90-4 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-9,10-dioxo-4,5-bis[[2-(phenylmethoxy)acetyl]oxy]- (CA INDEX NAME)



RN 864652-91-5 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-bis(4-methoxy-1-oxobutoxy)-9,10-dioxo- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L91 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:711494 HCAPLUS [Full-text](#)

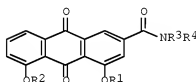
DOCUMENT NUMBER: 141:225524

TITLE: Preparation of 1,8-dihydroxyanthraquinone-6-carboxamide derivatives as inhibitors of T-cell proliferation for treatment of autoimmune or inflammatory conditions

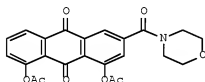
INVENTOR(S): Bannister, Robin Mark; Baxter, Andrew Douglas; Cooper, Nicola; Brew, John

PATENT ASSIGNEE(S): Arakis Ltd., UK  
 SOURCE: Brit. UK Pat. Appl., 17 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2398780	A	20040901	GB 2003-4395	20030226 ←
PRIORITY APPLN. INFO.:			GB 2003-4395	20030226 ←
OTHER SOURCE(S):		CASREACT 141:225524; MARPAT 141:225524		
ED Entered STN:		01 Sep 2004		
GI				



I



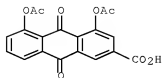
II

AB Title compds. Represented by the formula I [wherein R1, R2 = independently H, alkyl, COR5; R3 = H or alkyl; R4 = (un)substituted (cyclo)alkyl, (hetero)aryl; NR3R4 = (un)substituted heterocyclic ring; R5 = alkyl or (hetero)aryl; and pharmaceutically acceptable salts, solvates or hydrates thereof] were prepared as inhibitors of T-cell proliferation (no data). For example, chlorination of 4,5-diacetoxy-9,10-dioxoanthracene-2-carboxylic acid with thionyl chloride and followed by reaction with morpholine, gave II. Thus, I and their pharmaceutical compns. Are useful for the treatment of an autoimmune or inflammatory conditions including a chronic degenerative disease (such as rheumatoid arthritis, osteoarthritis or osteoporosis), a chronic demyelinating disease (such as multiple sclerosis), a respiratory disease (such as asthma or allergic rhinitis or chronic obstructive pulmonary disease [COPD]), an inflammatory bowel disease [IBD] (such as ulcerative colitis or Crohn's disease), a dermatol. Condition (such as psoriasis, scleroderma or atopic dermatitis), a dental disease (such as periodontal disease or gingivitis), diabetic nephropathy, lupus nephritis, IgA nephropathy, glomerulonephritis, systemic lupus erythematosus (SLE) or graft vs. host disease (no data).

IT 13739-02-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 1,8-dihydroxyanthraquinone-6-carboxamide \_erives. As inhibitors of t-cell proliferation for treatment of autoimmune or inflammatory conditions)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)

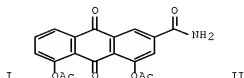
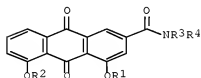


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L91 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS ON STN  
ACCESSION NUMBER: 2004:711493 HCAPLUS Full-text  
DOCUMENT NUMBER: 141:225167  
TITLE: Preparation of  
1,8-dihydroxyanthraquinone-6-carboxamide derivatives  
as modulators of Il-10 production for treatment of  
autoimmune or inflammatory conditions  
INVENTOR(S): Bannister, Robin Mark; Baxter, Andrew Douglas  
; Cooper, Nicola; Brew, John  
PATENT ASSIGNEE(S): Arakis Limited, UK  
SOURCE: Brit. UK Pat. Appl., 15 pp.  
CODEN: BAXXDU  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2398779	A	20040901	GB 2003-4394	20030226 ←
PRIORITY APPLN. INFO.:			GB 2003-4394	20030226 ←
OTHER SOURCE(S):		MARPAT 141:225167		
ED Entered STN:		01 Sep 2004		

GI



AB Title compds. Represented by the formula I [wherein R1, R2 = independently H, alkyl, COR5; R3, R4 = independently H or alkyl; R5 = alkyl or (hetero)aryl; and pharmaceutically acceptable salts, solvates or hydrates thereof] were prepared as modulators of Il-10 production (no data). For example, chlorination of 4,5-diacetoxy-9,10-dioxoanthracene-2-carboxylic acid with thionyl chloride and followed by reaction with ammonia, gave II. Thus, I and their pharmaceutical compns. Are useful for the treatment of an autoimmune or



inflammatory conditions including a chronic degenerative disease (such as rheumatoid arthritis, osteoarthritis or osteoporosis), a chronic demyelinating disease (such as multiple sclerosis), a respiratory disease (such as asthma or allergic rhinitis or chronic obstructive pulmonary disease [COPD]), an inflammatory bowel disease [IBD] (such as ulcerative colitis or Crohn's disease), a dermatol. Condition (such as psoriasis, scleroderma or atopic dermatitis), a dental disease (such as periodontal disease or gingivitis), diabetic nephropathy, lupus nephritis, IgA nephropathy, glomerulonephritis, systemic lupus erythematosus (SLE) or graft vs. host disease (no data). These carboxamide \_erives. Are capable of enhancing IL-10 production and inhibiting T-cell proliferation in assays (no data).

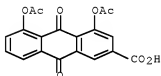
IT 13739-02-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 1,8-dihydroxyanthraquinone-6-carboxamide \_erives. As modulators of IL-10 production for treatment of autoimmune or inflammatory conditions)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)



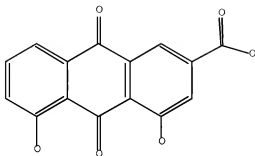
REFERENCE COUNT:

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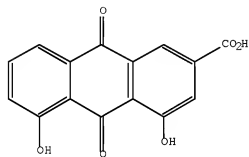
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## Structure Search

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L1 STR



Structure attributes must be viewed using STN Express query preparation.  
L2 265 SEA FILE=REGISTRY SSS FUL L1  
L72 STR



G1 H

Structure attributes must be viewed using STN Express query preparation.  
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L76 987 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L74  
L87 265 SEA FILE=REGISTRY SUB=L2 SSS FUL L1  
L88 1166 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L87  
L89 179 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L88 NOT L76  
L90 141 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L89 AND (PRY<=2004 OR  
AY<=2004 OR PY<=2004)

=> S L90 NOT L50,L81  
L92 139 L90 NOT (L50 OR L81)

=> D IBIB ED ABS HITSTR 1-10 L92; D IBIB ED ABS HITSTR L92 80-90; D IBIB ED ABS  
HITSTR L92 129-139

L92 ANSWER 1 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2008:1156137 HCAPLUS Full-text

## Serial No.:10/591,157

DOCUMENT NUMBER: 149:409732  
 TITLE: Pharmaceutical compositions and method for treatment of chronic inflammatory diseases  
 INVENTOR(S): Shapiro, Howard K.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 35pp., Cont.-in-part of U.S. Ser. No. 924,945.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080234380	A1	20080925	US 2008-70518	20080220 <--
US 20050090553	A1	20050428	US 2004-924945	20040824 <--
PRIORITY APPLN. INFO.:			US 1992-906909	B2 19920630 <--
			US 1994-241603	B2 19940511 <--
			US 1997-814291	B2 19970310 <--
			US 2000-610073	B2 20000705 <--
			US 2004-924945	A2 20040824 <--

ED Entered STIN: 25 Sep 2008

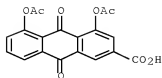
AB This invention defines novel compns. that can be used for clin. treatment of a class of chronic inflammatory diseases. Increased generation of carbonyl substances, namely aldehydes and ketones, occurs at sites of chronic inflammation and is common to the etiologies of all of the clin. disorders addressed herein. Such carbonyl substances are cytotoxic and addnl. serve to perpetuate and disseminate the inflammatory process. This invention defines use of compns., the orally administered required primary agents of which are primary amine derivs. of benzoic acid capable of covalently reacting with the carbonyl substances. P-Aminobenzoic acid is an example of the required primary agent of the present invention. PABA has a small mol. weight, is water-soluble, has a primary amine group which reacts with carbonyl-containing substances and is tolerated by the body in relatively high dosages for extended periods. The method includes administration of a composition comprising: (1) an orally consumed therapeutically effective amount of at least one required primary agent; (2) at least one required previously known medicament co-agent recognized as effective to treat a chronic inflammatory disease addressed herein administered to the mammalian subject via the oral route; and (3) one or more addnl. orally consumed required co-agent selected from the group consisting of antioxidants, vitamins, metabolites at risk of depletion, sulphydryl co-agents, co-agents which may facilitate glutathione activity and nonabsorbable primary amine polymeric co-agents; so as to-produce an additive or synergistic physiol. effect of an anti-inflammatory nature.

IT 13739-02-1, Diacetylrhein

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. and method for treatment of chronic inflammatory diseases)

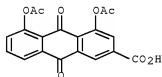
RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
 (CA INDEX NAME)



L92 ANSWER 2 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:808341 HCAPLUS Full-text  
 DOCUMENT NUMBER: 147:392270  
 TITLE: Encapsulated diacerein composition and method for manufacturing the same.  
 INVENTOR(S): Flores Mendoza, Consuelo  
 PATENT ASSIGNEE(S): Espinosa Abdala, Leopoldo, Mex.  
 SOURCE: Mex. Pat. Appl., 15pp.  
 CODEN: MXXXA3  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Spanish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MX 2004PA09717	A	20060407	MX 2004-PA9717	20041005 <--
PRIORITY APPLN. INFO.:			MX 2004-PA9717	20041005 <--
ED Entered STN: 25 Jul 2007				
AB An invention describing the encapsulation of diacerein as a pharmaceutical composition. The advantages of the present invention consist in obtaining a diacerein composition easily encapsulated; providing stability to the composition, and allowing the encapsulated particles to be disintegrated, as well as providing d. to the formula for encapsulating a suitable amount of diacerein. The composition includes diacerein as a biol. active mol., and excipients comprising amorphous sucrose as a diluent; polyvinyl pyrrolidone K30 as a granulating agent; a 96° GL Et alc. solution in distilled water as an humectant in a ratio of 90:10 resp.; croscarmellose sodium as a disintegrant and a talc as a lubricant.				
IT 13739-02-1, Diacerein				
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (encapsulated diacerein composition)				
RN 13739-02-1 HCAPLUS				
CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo- (CA INDEX NAME)				



L92 ANSWER 3 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:675301 HCAPLUS Full-text  
 DOCUMENT NUMBER: 147:102166  
 TITLE: Antiinflammatory compositions containing combination of anabolic agents and anti-catabolic agents and antioxidant agents and analgesics  
 INVENTOR(S): Henderson, Todd R.; Frondoza, Carmelita  
 PATENT ASSIGNEE(S): Nutramax Laboratories, Inc., USA  
 SOURCE: U.S. Pat. Appl., 37pp., Cont.-in-part of U.S.

Ser. No. 824,498.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070141181	A1	20070621	US 2006-634383	20061206 <--
US 20020119950	A1	20020829	US 1999-249335	19990212 <--
US 6451771	B2	20020917		
EP 1917966	A1	20080507	EP 2008-75016	19990212 <--
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
EP 1762247	A1	20070314	EP 2006-77156	19990603 <--
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, AL, LT, LV, MK, RO, SI				
US 20030129261	A1	20030710	US 2002-192318	20020711 <--
US 6797289	B2	20040928		
US 20040197431	A1	20041007	US 2004-824498	20040415 <--
AU 2004201991	A1	20040610	AU 2004-201991	20040511 <--
AU 2004201991	B2	20061026		
AU 2007200336	A1	20070215	AU 2007-200336	20070125 <--
WO 2008070086	A2	20080612	WO 2007-US24853	20071205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

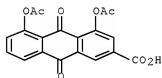
PRIORITY APPLN. INFO.:

US 1998-74594P	P	19980213 <--
US 1998-88205P	P	19980605 <--
US 1999-249335	A2	19990212 <--
US 1999-274881	B1	19990323 <--
US 2002-192318	A1	20020711 <--
US 2004-824498	A2	20040415 <--
EP 1999-906947	A3	19990212 <--
AU 1999-44115	A3	19990603 <--
EP 1999-927137	A3	19990603 <--
AU 2004-201991	A3	20040511 <--
US 2006-634383	A	20061206

ED Entered STN: 22 Jun 2007

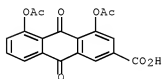
AB The present invention relates to compns. for the modulation of inflammation in connective tissues in humans and animals and the modulation of markers of such inflammation, including COX-2, TNF- $\alpha$ , IL-1 $\beta$ , iNOS, p38, and chemokines, comprising any or all of anabolic, anti-catabolic, anti-oxidant and analgesic agents, including aminosugars, S-adenosylmethionine, arachadonic acid, GAGs, including pentosan, collagen type II, tetracyclines or tetracycline-like compds., diacerein, super oxide dismutase, L-ergothioneine, methylsulfanylmethane, one or more avocado/soybean unsaponifiables, and an analgesic, e.g., acetaminophen, and to methods of treating humans and animals by administration of these novel compns. to humans and animals in need thereof.

IT 13739-02-1, Diacerein  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antiinflammatory compns. containing combination of anabolic agents and anti-catabolic agents and antioxidant agents and analgesics)  
 RN 13739-02-1 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo- (CA INDEX NAME)

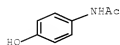


IT 942120-35-6 942153-10-8D, Diacerein-S-adenosylmethionine mixture, mixts. with pentosans  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antiinflammatory compns. containing combination of anabolic agents and anti-catabolic agents and antioxidant agents and analgesics)  
 RN 942120-35-6 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-, mixt. with N-(4-hydroxyphenyl)acetamide (CA INDEX NAME)

CM 1  
 CRN 13739-02-1  
 CMF C19 H12 O8



CM 2  
 CRN 103-90-2  
 CMF C8 H9 N O2



RN 942153-10-8 HCAPLUS

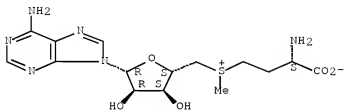
CN Adenosine, 5'-[[[(3S)-3-amino-3-carboxypropyl]methylsulfonio]-5'-deoxy-, inner salt, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-2-anthracenecarboxylate (1:1) (CA INDEX NAME)

CM 1

CRN 29908-03-0

CMF C15 H22 N6 O5 S

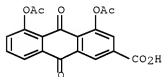
Absolute stereochemistry.



CM 2

CRN 13739-02-1

CMF C19 H12 O8



L92 ANSWER 4 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:322591 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 144:357728

TITLE: Solid pharmaceutical formulations comprising diacerein and meloxicam

INVENTOR(S): Garcia Armenta, Maria Elena; Santos Murillo, Josefina; Alvarez Ochoa, Victor Guillermo; Flores Mendoza, Consuelo

PATENT ASSIGNEE(S): Espinosa Abdala, Leopoldo, Mex.

SOURCE: U.S. Pat. Appl. Publ., 10 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

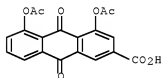
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060074079	A1	20060406	US 2005-186031	20050930 <--
MX 2004PA09698	A	20060405	MX 2004-PA9698	20041004 <--

## Serial No.:10/591,157

EP 1655026 A1 20060510 EP 2005-76453 20050622 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,  
 BA, HR, IS, YU  
 BR 2005005046 A 20070612 BR 2005-5046 20050830 <--  
 PRIORITY APPLN. INFO.: MX 2004-PA9698 A 20041004 <--  
 ED Entered STN: 07 Apr 2006  
 AB This invention relates to formulations in solid pharmaceutical forms containing diacerein and meloxicam. The present invention provides novel formulations comprising: (a) Diacerein, (b) Meloxicam, (c) one or more anti-adherent agents, (d) one or more disintegrating agents, (e) one or more binder agents, (f) one or more lubricants, (g) one or more diluents, (h) one or more solvents, and (i) any other additive which assists in formulation. The present invention also provides a method for treatment of osteoarthritis, rheumatoid arthritis, gouty arthritis, multiple sclerosis, amyotrophic lateral sclerosis and related diseases, in addition of inflammatory processes originated from various etiologies, by administering suitable doses.  
 IT 13739-02-1, Diacerein  
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (solid pharmaceutical formulations comprising diacerein and meloxicam)  
 RN 13739-02-1 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo- (CA INDEX NAME)



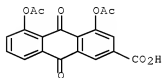
L92 ANSWER 5 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:284124 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 145:14456  
 TITLE: Method for preparation of diacetyl rhein from extraction of rhubarb  
 INVENTOR(S): Xia, Shipeng  
 PATENT ASSIGNEE(S): Peop. Rep. China  
 SOURCE: Faming Zhuanli Shengqing Gongkai Shuomingshu, 6 pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 1651394	A	20050810	CN 2004-10065377	20041130 <--
PRIORITY APPLN. INFO.:			CN 2004-10065377	20041130 <--
ED Entered STN: 28 Mar 2006				

AB This invention pertains to method for preparing diacetyl rhein from extraction of Rhubarb, and the method comprises acetylating, oxidating, dechromizing, aminating, conversion, recrystg. and drying. The extraction of Rhubarb



comprises diacetyl rhein, chrysophanol or aloe-emodin. Diacetyl rhein is  
 IT 13739-02-1P, Diacetyl rhein  
 RL: PUR (Purification or recovery); PREP (Preparation)  
 (preparing diacetyl rhein from extraction of Rhubarb)  
 RN 13739-02-1 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
 (CA INDEX NAME)



L92 ANSWER 6 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:29433 HCAPLUS Full-text

DOCUMENT NUMBER: 144:135217

TITLE: Pharmaceutical compositions containing bezafibrate and  
 analogs and diflunisal and its analog for the  
 treatment of metabolic disorders

INVENTOR(S): Lee, Margaret S.; Zimmerman, Grant R.; Finelli, Alyce  
 Lynn; Grau, Daniel; Keith, Curtis; Nichols, M. James

PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006004803	A1	20060112	WO 2005-US23030	20050629 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005259864	A1	20060112	AU 2005-259864	20050629 <--
CA 2571683	A1	20060112	CA 2005-2571683	20050629 <--
EP 1781303	A1	20070509	EP 2005-768186	20050629 <--
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 101010083	A	20070801	CN 2005-80028968	20050629 <--
JP 2008505176	T	20080221	JP 2007-520357	20050629 <--

## Serial No.:10/591,157

BR 2005012856	A	20080408	BR 2005-12856	20050629 <--
US 20060069161	A1	20060330	US 2005-171566	20050630 <--
KR 2007027747	A	20070309	KR 2007-702096	20070126 <--
NO 2007000510	A	20070329	NO 2007-510	20070126 <--
IN 2007CN00407	A	20070824	IN 2007-CN407	20070129 <--

PRIORITY APPLN. INFO.:

US 2004-584380P	P	20040630 <--
US 2005-649329P	P	20050202
WO 2005-US23030	W	20050629

ED Entered STN: 12 Jan 2006

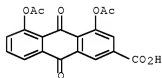
AB The invention features compns., methods, and kits for the treatment of metabolic disorders such as diabetes and obesity. For example, an oral composition containing combination of bezafibrate and diflunisol was found to be able to significantly increased the insulin-stimulated glucose uptake.

IT 13739-02-1, Diacerein

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical compns. containing bezafibrate and analogs and diflunisol analogs or cinnamic acid for treatment of metabolic disorders)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 7 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:940806 HCAPLUS Full-text

DOCUMENT NUMBER: 143:210992

TITLE: Joint-protecting health drinks or foods for preventing degeneration of joint or synovia and treating arthritis, osteoarthritis and osteoporosis

INVENTOR(S): Li, Anhu; Xu, Qingren

PATENT ASSIGNEE(S): Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 16 pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 1439313	A	20030903	CN 2003-116133	20030402 <--
CN 1314361	C	20070509		
US 20040198695	A1	20041007	US 2004-793035	20040305 <--
PRIORITY APPLN. INFO.:			CN 2003-116133	A 20030402 <--

ED Entered STN: 30 Aug 2005

AB The title beverage or food contains one or more active component, for example, sulfate or chloride of D-glucosamine, chondroitin sulfate, di-Me sulfone, hyaluronic acid, diacerein, vitamin A, vitamin C, vitamin D, vitamin E, and

calcium orotate, etc. The beverage or food also contains protein, amino acid, fat, saccharide, vitamin, mineral substance, trace element, sweetener, pigment, essence or theirs combination. The preparation process comprises adding one or more kinds of active component to the beverage or food sold in the market, stirring to dissolve or mix the active components, and sterilizing to obtain products. The beverage or food may be liquid, suspension, gel, semisolid, or solid. The beverage or food can supply the necessary nutrient substance to articular cartilage and articular lubricating liquid, delay the degeneration of articular cartilage and articular lubricating liquid, and can prevent and cure osteoarthritis.

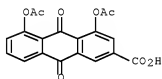
IT 13739-02-1, Diacerein

RL: FFD (Food or feed use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(joint-protecting health drinks or foods for preventing joint degeneration and treating arthritis, osteoarthritis and osteoporosis)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo- (CA INDEX NAME)



L92 ANSWER 8 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:823557 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:235399

TITLE:

INVENTOR(S): Compositions and methods for treating contracture

Avelar, Rui; Liggins, Richard T.; Toleikis, Philip M.;

Loss, Troy A. E.; Gravett, David M.; Maiti, Arpita

PATENT ASSIGNEE(S): Angiotech International A. G., Switz.

SOURCE: PCT Int. Appl., 234 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005074913	A2	20050818	WO 2005-US3800	20050131 <--
WO 2005074913	A3	20060119		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005210668	A1	20050818	AU 2005-210668	20050131 <--

## Serial No.:10/591,157

CA 2536096 A1 20050818 CA 2005-2536096 20050131 <--  
 US 20050186261 A1 20050825 US 2005-48628 20050131 <--  
 EP 1708694 A2 20061011 EP 2005-722794 20050131 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS  
 CN 1897930 A 20070117 CN 2005-80001292 20050131 <--  
 JP 2007519756 T 20070719 JP 2006-551642 20050131 <--  
 IN 2006KN02450 A 20070525 IN 2006-KN2450 20060828 <--  
 PRIORITY APPLN. INFO.: US 2004-540660P P 20040130 <--  
 WO 2005-US3800 W 20050131

ED Entered STN: 19 Aug 2005

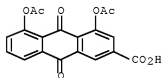
AB A method for treating contracture is provided that includes administering to a patient in need thereof a composition that includes a therapeutic agent effective in treating contracture. Compns., devices, and kits for use in treating contracture are also described. A micellar carrier comprised of methoxy-PEG-poly lactide diblock copolymer and containing paclitaxel was prepared

IT 13739-02-1, Diacerein

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compns. and methods for treating contracture)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
 (CA INDEX NAME)



L92 ANSWER 9 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:471950 HCAPLUS Full-text

DOCUMENT NUMBER: 143:1332

TITLE: Method and composition for treatment of cutaneous lesions

INVENTOR(S): Gonda, Igor; Morgan, Timothy Matthias; Wilkins, Nina Frances

PATENT ASSIGNEE(S): Acrux DDS Pty Ltd., Australia

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049025	A1	20050602	WO 2004-AU1609	20041119 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,			

## Serial No.:10/591,157

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004290463 A1 20050602 AU 2004-290463 20041119 <--  
 CA 2546396 A1 20050602 CA 2004-2546396 20041119 <--  
 EP 1684760 A1 20060802 EP 2004-797057 20041119 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

CN 1882341 A 20061220 CN 2004-80034343 20041119 <--  
 JP 2007511543 T 20070510 JP 2006-540081 20041119 <--  
 MX 2006PA05742 A 20061214 MX 2006-PA5742 20060519 <--  
 IN 2006KN01619 A 20070511 IN 2006-KN1619 20060612 <--  
 US 20080027033 A1 20080131 US 2007-579756 20070129 <--

PRIORITY APPLN. INFO.: US 2003-523138P P 20031119 <--  
 WO 2004-AU1609 W 20041119 <--

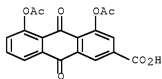
ED Entered STN: 03 Jun 2005

AB A method of treatment or prophylaxis of a cutaneous lesion in an animal the method comprising topically applying to an area of skin of the animal a composition comprising: one or more metal chelators; one or more transforming growth factor modulators; and one or more dermal penetration enhancers.

IT 13739-02-1, Diacerein  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method and composition for treatment of cutaneous lesions)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 10 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:369133 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 142:435774  
 TITLE: Compositions treatment of chronic inflammatory diseases  
 INVENTOR(S): Shapiro, Howard K.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 610,073, abandoned.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050090553	A1	20050428	US 2004-924945	20040824 <--

US 20080234380  
PRIORITY APPLN. INFO.:

A1 20080925 US 2008-70518  
US 1992-906909  
US 1994-241603  
US 1997-814291  
US 2000-610073  
US 2004-924945

20080220 <--  
B2 19920630 <--  
B2 19940511 <--  
B2 19970310 <--  
B2 20000705 <--  
A2 20040824 <--

OTHER SOURCE(S): MARPAT 142:435774

ED Entered STN: 29 Apr 2005

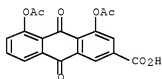
AB This invention defines novel compns. that can be used for clin. treatment of a class of chronic inflammatory diseases. Increased generation of carbonyl substances, aldehydes and ketones, occurs at sites of chronic inflammation and is common to the etiologies of all of the clin. disorders addressed herein. Such carbonyl substances are cytotoxic and addnl. serve to perpetuate and disseminate the inflammatory process. This invention defines use of compns., the orally administered required primary agents of which are primary amine derivs. of benzoic acid capable of reacting with the carbonyl substances. P-Aminobenzoic acid (or PABA) is an example of the required primary agent of the present invention. PABA has a small mol. weight, is water soluble, has a primary amine group which reacts with carbonyl-containing substances and is tolerated by the body in relatively high dosages for extended periods. The method of the present invention includes administration of a composition comprising: (1) an orally consumed primary agent; (2) a previously known medicament co-agent recognized as effective to treat a chronic inflammatory disease addressed herein administered to the mammalian subject via the oral route, other systemic routes of administration or via the topical route; and (3) optionally 1 or more addnl. orally consumed co-agent selected from the group consisting of antioxidants, vitamins, metabolites at risk of depletion, sulfhydryl co-agents, co-agents which may facilitate glutathione activity and nonabsorbable primary amine polymeric co-agents, so as to produce an additive or synergistic physiol. effect of an anti-inflammatory nature.

IT 13739-02-1, Diacetylrhein

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(compns. treatment of chronic inflammatory diseases)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)



L92 ANSWER 80 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:400257 HCAPLUS Full-text

DOCUMENT NUMBER: 99:257

ORIGINAL REFERENCE NO.: 99:54h,55a

TITLE: Dexamethasone, diacetylrhein and flurbiprofen in preventing experimental, postoperative tuboperitoneal adhesions

AUTHOR(S): Magro, B.; Franchi, I.; Chehade, A.

CORPORATE SOURCE: Obstet. Gynecol. Dep., Osp. S. Giuseppe, Milan, Italy

## Serial No.:10/591,157

SOURCE: IRCS Medical Science: Library Compendium (1983), 11(3), 244  
 CODEN: IRLCDZ; ISSN: 0305-6651

DOCUMENT TYPE: Journal  
 LANGUAGE: English

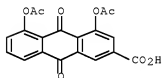
ED Entered STN: 12 May 1984

AB In rats, the number of tuboperitoneal adhesions caused by standardized surgical trauma was decreased by treatment with dexamethasone [50-02-2] (0.5 mg/kg, i.m.), diacetylrhein [13739-02-1] (5 or 25 mg/kg, i.m.), and flurbiprofen [5104-49-4] (2 or 4 mg/kg, i.m.) given for 10 days starting the day before surgery. Flurbiprofen appeared to be the most effective drug.

IT 13739-02-1  
 RL: BIOL (Biological study)  
 (oviduct-peritoneum adhesion after surgery prevention by)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
 (CA INDEX NAME)



L92 ANSWER 81 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1982:622966 HCAPLUS Full-text

DOCUMENT NUMBER: 97:222966

ORIGINAL REFERENCE NO.: 97:37287a,37290a

TITLE: Carboxy anthraquinones for treatment of arthritis

INVENTOR(S): Friedmann, Charles A.

PATENT ASSIGNEE(S): Italy

SOURCE: U.S., 6 pp. Cont. of U.S. Ser. No. 112,824, abandoned.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

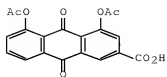
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4346103	A	19820824	US 1981-264817	19810518 <--
ZA 7601627	A	19780125	ZA 1976-1627	19760316 <--
US 4244968	A	19810113	US 1977-773406	19770301 <--
PRIORITY APPLN. INFO.:			ZA 1976-1627	A 19760316 <--
			US 1977-773406	A2 19770301 <--
			US 1980-112824	A1 19800117 <--

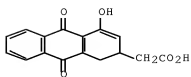
OTHER SOURCE(S): MARPAT 97:222966

ED Entered STN: 12 May 1984

GI



I



II

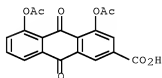
AB Anthraquinones containing OH, NH<sub>2</sub>, or ester groups, and solubilizing CO<sub>2</sub>H groups, are used in the treatment of arthritis or multiple sclerosis. The effectiveness of diacetylrhein (I) [13739-02-1] was demonstrated in patients with rheumatoid arthritis. II [65175-63-5] was prepared by acetylating 1-hydroxy-3,4-dihydroanthraquinone [65175-76-0], brominating the 1-acetoxy derivative [65175-77-1], treating the 3-bromo derivative [65929-77-3] with BrCH<sub>2</sub>CO<sub>2</sub>Et [105-36-2] and Cu powder and hydrolyzing the resulting Et 1-acetoxy-3-carboxymethyl-3,4-dihydroanthraquinone ester [65175-78-2].

IT 13739-02-1 81686-02-4

RL: BIOL (Biological study)  
(arthritis and multiple sclerosis treatment with)

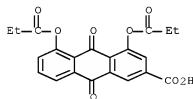
RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)



RN 81686-02-4 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-9,10-dioxo-4,5-bis(1-oxopropoxy)-  
(CA INDEX NAME)



L92 ANSWER 82 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1982:582755 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 97:182755

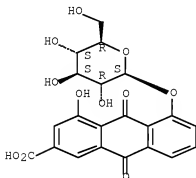
ORIGINAL REFERENCE NO.: 97:30593a,30596a

TITLE: Analytical studies on the active constituents in crude drugs. V. The structure of sennoside G, a new glucoside from senna

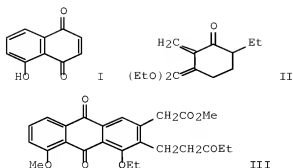


AUTHOR(S): Tanaka, Hitoshi; Murata, Reiko; Yoshida, Akiyoshi;  
Hayashi, Shinichi  
CORPORATE SOURCE: Res. Dev. Dep., Rohto Pharm. Co., Ltd., Osaka, 544,  
Japan  
SOURCE: Chemical & Pharmaceutical Bulletin (1982),  
30(5), 1550-6  
CODEN: CPBTAL; ISSN: 0009-2363  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 12 May 1984  
AB A new glucoside sennoside G, isolated from the leaves of *Cassia angustifolia*,  
was shown by chemical and phys. means to be the optical antipode of sennoside  
A with respect to the sennidin moiety. The ORD spectrum of sennidin G was  
exactly opposite to that of sennidin A. Sennosides A, B and G isomerized to  
each other reversibly and oxidized to give 8-glucosylrhein.  
IT 34298-86-7F  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 34298-86-7 HCAPLUS  
CN 2-Anthracenecarboxylic acid, 5-( $\beta$ -D-glucopyranosyloxy)-9,10-dihydro-4-  
hydroxy-9,10-dioxo- (CA INDEX NAME)

Absolute stereochemistry.



L92 ANSWER 83 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1982:509753 HCAPLUS Full-text  
DOCUMENT NUMBER: 97:109753  
ORIGINAL REFERENCE NO.: 97:18249a,18252a  
TITLE: An efficient total synthesis of ( $\pm$ )-aklavinone  
AUTHOR(S): Boeckman, Robert K., Jr.; Sum, F. W.  
CORPORATE SOURCE: Dep. Chem., Univ. Rochester, Rochester, NY, 14627, USA  
SOURCE: Journal of the American Chemical Society (1982  
, 104(17), 4604-10  
CODEN: JACSAT; ISSN: 0002-7863  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 12 May 1984  
GI

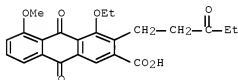


AB (±)-Aklavinone was prepared in 11 steps from 1,3-cyclohexanedione. The key steps include a Diels-Alder condensation of I and II and the stereoselective aldol condensation of III. The overall yield is .apprx.13%.

IT 82247-62-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and esterification of)

RN 82247-62-9 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4-ethoxy-9,10-dihydro-5-methoxy-9,10-dioxo-3-(3-oxopentyl)- (CA INDEX NAME)



L92 ANSWER 84 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1982:498430 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 97:98430

ORIGINAL REFERENCE NO.: 97:16303a,16306a

TITLE: Resolution of dianthrone glycosides and anthraquinone glycosides by thin-layer chromatography

AUTHOR(S): Rai, P. P.; Shok, M.

CORPORATE SOURCE: Dep. Pharmacogn., Ahmadu Bello Univ., Zaria, Nigeria

SOURCE: Chromatographia (1982), 15(4), 249-50

CODEN: CHRGB7; ISSN: 0009-5893

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

AB Existing TLC systems for the separation of dianthrone glycosides (sennosides) and anthraquinone glycosides are unsatisfactory. These 2 groups of glycosides were separated by using a conventional TLC development tank and also in a modern VARIO-KS-Chamber at a relative humidity of 32%. The separation in a VARIO-KS-Chamber was superior.

IT 34298-36-7

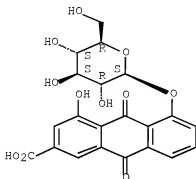
RL: PROC (Process)

(separation of, by thin-layer chromatog.)

RN 34298-86-7 HCAPLUS

CN 2-Anthracenecarboxylic acid, 5-( $\beta$ -D-glucopyranosyloxy)-9,10-dihydro-4-hydroxy-9,10-dioxo- (CA INDEX NAME)

Absolute stereochemistry.



L92 ANSWER 85 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:630831 HCAPLUS Full-text

DOCUMENT NUMBER: 93:230831

ORIGINAL REFERENCE NO.: 93:36755a,36758a

TITLE: A nonsteroidal antiinflammatory drug that stimulates

prostaglandins release

AUTHOR(S): Pomarelli, P.; Berti, M.; Gatti, M. T.; Mosconi, P.

CORPORATE SOURCE: Proter Res. Lab., Opera, Italy

SOURCE: Farmaco, Edizione Scientifica (1980),

35(10), 836-42

CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE:

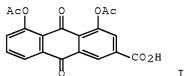
Journal

LANGUAGE:

English

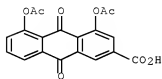
ED Entered STN: 12 May 1984

GI

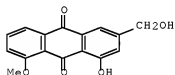


AB Studies with isolated lung preparation showed that diacetylrhein (DAR) (I) [13739-02-i], a new antiinflammatory and antiosteoarthrotic drug, does not exert its action by inhibiting the arachidonic acid metabolism. Furthermore, the in vivo expts. showed that DAR, contrary to most antiinflammatory drugs, induced an increase of prostaglandin-like substances in the rat exudates. The above results are substantiated by exptl. evidence that in the rat this compound displays a dose-dependent protecting activity against indomethacin-induced gastric damage.

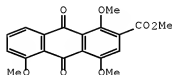
IT 13739-02-1  
 RL: BIOL (Biological study)  
 (prostaglandin release stimulation by)  
 RN 13739-02-1 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
 (CA INDEX NAME)



L92 ANSWER 86 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1980:94115 HCAPLUS Full-text  
 DOCUMENT NUMBER: 92:94115  
 ORIGINAL REFERENCE NO.: 92:15373a,15376a  
 TITLE: Methylation and hydroxylation studies on aloe-emodin  
 Alexander, Jose; Bhatia, Ashok V.; Mitscher, Lester  
 A.; Omoto, Shoji; Suzuki, Toshio  
 CORPORATE SOURCE: Dep. Med. Chem., Univ. Kansas, Lawrence, KS, 66045,  
 USA  
 SOURCE: Journal of Organic Chemistry (1980), 45(1),  
 20-4  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 12 May 1984  
 GI



I

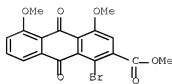


II

AB The chemical of aloe-emodin was studied for its possible use as a synthon for the regiospecific synthesis of adriamycin and its analogs. Routes for satisfactory large-scale monomethyl ether formation at C8 (I) and regiospecific introduction of a phenolic O function at C4 (II) are described. Interesting side reactions were encountered, including an apparent peri O to O acyl wandering during methylation and a reductive debromination during displacement of an aryl bromide by methanolic methoxide.

IT 72049-25-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and debromination and hydrolysis of)  
 RN 72049-25-3 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 1-bromo-9,10-dihydro-4,5-dimethoxy-9,10-dioxo-

, methyl ester (CA INDEX NAME)

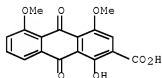


IT 72049-26-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification of)

RN 72049-26-4 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-1-hydroxy-4,5-dimethoxy-9,10-dioxo- (CA INDEX NAME)

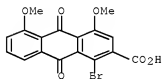


IT 72049-23-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reactions of)

RN 72049-23-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 1-bromo-9,10-dihydro-4,5-dimethoxy-9,10-dioxo- (CA INDEX NAME)

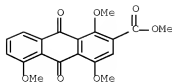


IT 69857-00-7F 72049-24-2P

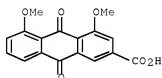
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 69857-00-7 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-1,4,5-trimethoxy-9,10-dioxo-, methyl ester (CA INDEX NAME)

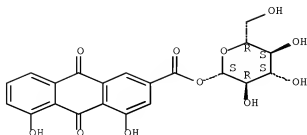


RN 72049-24-2 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dimethoxy-9,10-dioxo- (CA INDEX NAME)



L92 ANSWER 87 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1980:37739 HCAPLUS Full-text  
 DOCUMENT NUMBER: 92:37739  
 ORIGINAL REFERENCE NO.: 92:6282h,6283a  
 TITLE: Crystalline chemical components of the flowers of *Cassia marginata*  
 AUTHOR(S): Kostova, I. N.; Rangaswami, S.  
 CORPORATE SOURCE: Dep. Chem., Univ. Delhi, Delhi, India  
 SOURCE: Symp. Pap. - IUPAC Int. Symp. Chem. Nat. Prod., 11th (1978), Volume 2, 313-15. Editor(s): Marekov, N.; Ognyanov, I.; Orahovats, A. Izd. BAN: Sofia, Bulg.  
 CODEN: 41RTAX  
 DOCUMENT TYPE: Conference  
 LANGUAGE: English  
 ED Entered STN: 12 May 1984  
 AB Solvent (Me<sub>2</sub>CO, petroleum ether, Et<sub>2</sub>O, EtOAc) extraction of flowers of *C. marginata* yielded sitosterol, kaempferol, quercetin, sitosterol β-D-glucopyranoside, kaempferol 3-O-β-D-glucopyranoside, quercetin 3-O-β-D-glucopyranoside, quercetin 3-O-β-D-galactopyranoside, and an anthraquinone glucoside identified as rhein acyl-β-D-glucopyranoside.  
 IT 67565-95-1  
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence) (of *Cassia marginata* flowers)  
 RN 67565-95-1 HCAPLUS  
 CN β-D-Glucopyranose, 1-(9,10-dihydro-4,5-dihydroxy-9,10-dioxo-2-anthracenecarboxylate) (CA INDEX NAME)

Absolute stereochemistry.



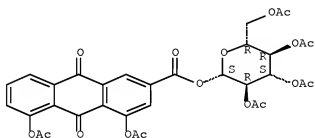
IT 72380-12-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 72380-12-2 HCAPLUS

CN  $\beta$ -D-Glucopyranose, 2,3,4,6-tetraacetate  
1-[4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-2-anthracenecarboxylate]  
(CA INDEX NAME)

Absolute stereochemistry.



L92 ANSWER 88 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:151860 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 90:151860

ORIGINAL REFERENCE NO.: 90:24129a,24132a

TITLE: Regioselective synthesis of an anthraquinone precursor  
of the anthracyclinones

AUTHOR(S): Forbes, Ian; Pratt, Richard A.; Raphael, Ralph A.

CORPORATE SOURCE: Univ. Chem. Lab., Univ. Cambridge, Cambridge, UK

SOURCE: Tetrahedron Letters (1978), (41), 3965-6

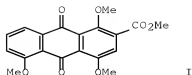
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

GI



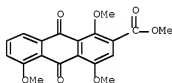
AB Anthraquinone I was regioselectively prepared from 3-MeOC6H4CONHCOMe3 by sequential reaction with BuLi/2,5-(MeO)2C6H2(CO2Me)2-1,4, hydrolysis, cyclization and methylation.

IT 69857-00-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(regioselective preparation of)

RN 69857-00-7 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-1,4,5-trimethoxy-9,10-dioxo-, methyl ester (CA INDEX NAME)



L92 ANSWER 89 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:526129 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 89:126129

ORIGINAL REFERENCE NO.: 89:19491a,19494a

TITLE: Crystalline chemical components of the flowers of

Cassia marginata and the wood of Cassia javanica

Kostova, Mrs. I. N.; Rangaswami, S.

Dep. Chem., Univ. Delhi, Delhi, India

SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1978

), 16B(5), 437-9

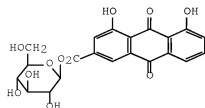
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

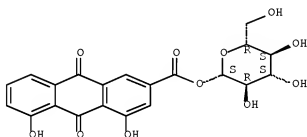
GI





- AB From the flower of *C. marginata* the unknown compound 1,8-dihydroxy-3-carbo( $\beta$ -D-glucopyranosyloxy)anthraquinone (I) was isolated, in addition to the known compds. sitosterol and its glucoside, kaempferol and its 3-O-glucoside, and quercetin and its 3-O-glucoside and 3-O-galactoside. Synthesis of the acetate of I provided confirmation for the structure of I. From the wood of *C. javanica* the known compds. ceryl alc., chrysophanol, piceatannol, and (-)-epiafzelechin were isolated.
- IT 67565-95-1  
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)  
 (of *Cassia marginata* flowers)
- RN 67565-95-1 HCAPLUS
- CN  $\beta$ -D-Glucopyranose, 1-(9,10-dihydro-4,5-dihydroxy-9,10-dioxo-2-anthracenecarboxylate) (CA INDEX NAME)

Absolute stereochemistry.



L92 ANSWER 90 OF 139 HCAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 1978:412029 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 89:12029

ORIGINAL REFERENCE NO.: 89:1851a,1854a

TITLE: Enzymic studies on thin layer plates. I. Enzymic hydrolysis of anthraglycosides on thin layer chromatograms

AUTHOR(S): Labadie, R. P.; Morrien, M. B. M.

CORPORATE SOURCE: Vakgroep Farmacogn., Farm. Lab., Utrecht, Neth.

SOURCE: Pharmaceutisch Weekblad (1978), 113(1), 1-9

CODEN: PHWEAW; ISSN: 0031-6911

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

AB A method is described to study the enzymic hydrolysis of naturally occurring anthraglycosides on thin layer chromatograms.  $\beta$ -Glycosidase [39346-29-7] from sweet almonds catalyzes the hydrolysis of all the anthraquinone mono- $\beta$ -glycosides and the anthrone mono- $\beta$ -glycosides of pharmaceutical interest.  $\beta$ -Glycosidic linkages present in anthraquinone diglycosides and in dianthrone glycosides seem to require another specific  $\beta$ -glycosidase. C-glycosides like desoxyaloin, aloin and the cascarosides are not split into the sugar moieties and the aglycones under the influence of  $\beta$ -glycosidase from sweet almonds.

IT 34298-86-7

RL: BIOL (Biological study)

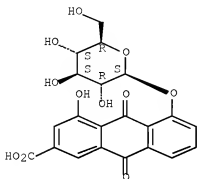
(glycosidase catalyzed hydrolysis of, thin layer chromatog. determination

of)

RN 34298-86-7 HCAPLUS

CN 2-Anthracenecarboxylic acid, 5-( $\beta$ -D-glucopyranosyloxy)-9,10-dihydro-4-hydroxy-9,10-dioxo- (CA INDEX NAME)

Absolute stereochemistry.



L92 ANSWER 129 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1961:22670 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 55:22670

ORIGINAL REFERENCE NO.: 55:4450a-d

TITLE: Dianthrone of pharmaceutically interesting hydroxyanthraquinones

AUTHOR(S): Auterhoff, H.; Scherff, F. C.

CORPORATE SOURCE: Tech. Hochschule Braunschweig, Germany

SOURCE: Archiv der Pharmazie und Berichte der Deutschen Pharmazeutischen Gesellschaft (1960), 293, 918-25

CODEN: APBDAJ; ISSN: 0376-0367

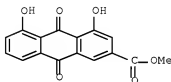
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

AB To 5 g. 1,8-dihydroxyanthraquinone in 250 ml. boiling AcOH was added over 3 hrs. 125 ml. 40% ZnCl<sub>2</sub> in concentrated HCl and the solution cooled to give 1,8-dihydroxyanthrone, m. 169-71°, which was dissolved in boiling AcOH under N in the dark and treated over 0.5 hr. with 10% FeCl<sub>3</sub> in AcOH to give after addition of H<sub>2</sub>O 1,1',8,8'-tetrahydroxydianthrone, m. 235-6°. Similarly were prepared from the following anthraquinones the corresponding anthrone and dianthrone derivs. [anthraquinone and m.p. (decomposition) of the anthrone and dianthrone given]: chrysophanol, 204°, above 220°; aloë emodin, 192° (no decomposition), above 260°; rhein, 288°, above 300°; frangula emodin, 255°, above 300°. The R<sub>f</sub> values with H<sub>2</sub>O-saturated BuOH, 2:1 toluene-MeOH, and 70% alc. on Na<sub>2</sub>CO<sub>3</sub>-saturated paper as well as the ultraviolet maximum of these compds. and the infrared spectra (5.5-9  $\mu$  region) of the aloë emodin compds. were given. Rhein (I) in MeOH with concentrated HCl gave I Me ester, m. 174°, converted in AcOH to I anthrone Me ester, m. 194-6°, with ZnCl<sub>2</sub> in concentrated HCl and not a "monorhein" and a "monorhein anthranol," resp., as suggested by Wagner, et al. (CA 53, 12409e).

IT 6155-37-9P, 2-Anthraquinonecarboxylic acid, 4,5-dihydroxy-, methyl ester  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 6155-37-9 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dihydroxy-9,10-dioxo-, methyl ester (CA INDEX NAME)



L92 ANSWER 130 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1960:103330 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 54:103330

ORIGINAL REFERENCE NO.: 54:19618a-g

TITLE: Nucleophilic aromatic substitutions. XV. Proof of the intermediate in nucleophilic aromatic substitutions with elimination. Structure of the arynes

AUTHOR(S): Huisgen, R.; Mack, W.; Mobius, L.

CORPORATE SOURCE: Univ. Munich, Germany

SOURCE: Tetrahedron (1960), 9, 29-39

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

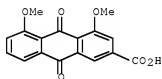
LANGUAGE: German

ED Entered STN: 22 Apr 2001

AB cf. CA 54, 12132h, 15340i. Li piperidide (I) in Et<sub>2</sub>O at 20° is 27 times more efficient than PhLi (II) in the formation of benzyne (III) from PhF and 70 times more efficient with PhCl (CA 53, 10205c). Competition expts. showed that III added II 4.4 times as readily as I and this discrepancy between the rate of formation of III and the rate of addition established the presence of a selective intermediate. Since the nature of the halogen in the aryl halide did not influence the product composition, the intermediate was regarded as halogen free. Li (10 g.) in 50 ml. paraffin oil vigorously stirred (N atmospheric) at 210° with gradual cooling to 180°, the mixture cooled (pure N atmospheric), the suspension separated (N atmospheric) from excess paraffin oil and washed with Et<sub>2</sub>O, the washed material taken up in 600 ml. Et<sub>2</sub>O and treated with 10 g. finely powdered HgPh<sub>2</sub> (freshly distilled at 127°/0.001 mm. and recrystd. from 100 ml. alc.), reaction initiated by stirring (N atmospheric) 1-2 min., the cooled mixture (ice bath) stirred 15-20 min. with portionwise addition of 130 g. HgPh<sub>2</sub> (N atmospheric), stirred 30 min. at 0°, gently refluxed 5 min., the cooled solution decanted into a PhLi buret and the clarified solution drawn up for storage at 0°, and the black residue (spontaneously flammable in air) diluted with petr. ether, decomposed by dropwise addition of MeOH, treated with HCl, and separated gave 72-3 g. Hg. II (228 mmoles in Et<sub>2</sub>O) treated (N atmospheric) with 15.0 ml. piperidine (distilled over LiH) in 150 ml. Et<sub>2</sub>O, the mixture refluxed with stirring, treated with 1.92 g. PhF in 20 ml. Et<sub>2</sub>O, refluxed 3 hrs., kept overnight at 20°, hydrolyzed at 0°, the dried (KOH) Et<sub>2</sub>O layer concentrated (distilled over a wire spiral column) to 25 ml., the concentrate evaporated at room temperature, the residue distilled at 12 mm. and taken up in 15 ml.

spectroscopically pure C6H12, shaken 3 times with 5 ml. 4N HCl and the acid extract washed with 4 ml. C6H12 the combined solution and washings made up to 25.0 ml., and the dried (KOH) solution examined by infrared spectroscopy at 700 and 738 cm.<sup>-1</sup> showed 1.775 g. Ph2 content, permitting calcn. of II. The HCl extract made alkaline at 0° with solid KOH and extracted with C6H12, the extract made up to 25 ml., and the dried (KOH) solution analyzed at  $\nu$  1600, 1502, 1385, 1235 cm.<sup>-1</sup> showed a content of 4.85 mmoles PhNC5H10. Similar competition expts. with PhF, PhCl, 1-ClOH7F and 9-chlorophenanthrene were carried out in boiling salt-free Et2O in the presence of excess I and II. Analogous expts. with PhF, PhCl, and 9-fluoro- and 9-chlorophenanthrene were performed and the infrared analyses of ArPh, ArNC5H10 tabulated in mmoles. In the same system, I and II in Et2O, 1,2-naphthylene, and 9,10-phenanthryne showed higher competition results, 5.8 and 12.8 resp., than III. The increasing selectivity of the arynes was the result of an increasing bond energy which resulted from a decreasing bond distance.

IT 72049-24-2F, 2-Anthraquinonecarboxylic acid, 4,5-dimethoxy-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 72049-24-2 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dimethoxy-9,10-dioxo- (CA  
 INDEX NAME)



L92 ANSWER 131 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1960:103329 HCAPLUS Full-text  
 DOCUMENT NUMBER: 54:103329  
 ORIGINAL REFERENCE NO.: 54:19617-h-i,19618a  
 TITLE: Position of the glucose unit in synthesized rhein monoglucoside  
 AUTHOR(S): Bellaart, A. C.; Koningsberger, C.  
 CORPORATE SOURCE: Univ. Eindhoven, Neth.  
 SOURCE: Recueil des Travaux Chimiques des Pays-Bas et de la Belgique (1960), 79, 285-8  
 CODEN: RTCPB4; ISSN: 0370-7539  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

ED Entered STN: 22 Apr 2001

AB The glucose unit in synthesized rhein monoglucoside was situated at position 1 of the 1,8-dihydroxyanthraquinone-3-carboxylic acid residue. Rhein monoglucoside Na salt (5.4 g.) was treated with Me2SO4 and aqueous NaOH, acidified with HCl and heated 1 hr. at 100° to give 2.9 g. 1-hydroxy-8-methoxyanthraquinone-3-carboxylic acid (I), m. 315-17°, not identical with 8-hydroxy-1-methoxyanthraquinone-3-carboxylic acid. I with Ac2O and H2SO4 gave 1-acetyl-8-methoxyanthraquinone-3-carboxylic acid (500 mg. from 600 mg. I), m. 228-9° (decomposition), converted by CH2N2 in Et2O to the Me ester, m. 244-5° (decomposition). I treated with Me2SO4 and aqueous KOH, acidified with HCl, and heated 1 hr. at 100° gave 1,8-dimethoxyanthraquinone-3-carboxylic acid, m. 283-4°, showing no depression when mixed with a sample of the same compound

Serial No.:10/591,157

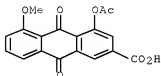
prepared from rhein or from 8-hydroxy-1-methoxyanthraquinone-3-carboxylic acid by methylation.

IT 101875-41-6 101937-25-1

(Derived from data in the 6th Collective Formula Index (1957-1961))

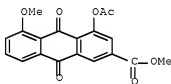
RN 101875-41-6 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4-(acetyloxy)-9,10-dihydro-5-methoxy-9,10-dioxo- (CA INDEX NAME)



RN 101937-25-1 HCAPLUS

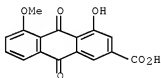
CN 2-Anthracenecarboxylic acid, 4-(acetyloxy)-9,10-dihydro-5-methoxy-9,10-dioxo-, methyl ester (CA INDEX NAME)



IT 3300-26-3, 2-Anthraquinonecarboxylic acid, 4-hydroxy-5-methoxy-  
(and derivs.)

RN 3300-26-3 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4-hydroxy-5-methoxy-9,10-dioxo-  
(CA INDEX NAME)

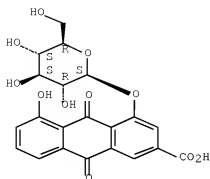


IT 114005-89-9, 2-Anthraquinonecarboxylic acid,  
4-(glucosyloxy)-5-hydroxy-  
(as structure for rhein glucoside)

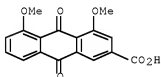
RN 114005-89-9 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4-(β-D-glucopyranosyloxy)-9,10-dihydro-5-hydroxy-9,10-dioxo- (CA INDEX NAME)

Absolute stereochemistry.



IT 72049-24-2P, 2-Anthraquinonecarboxylic acid, 4,5-dimethoxy-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 72049-24-2 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dimethoxy-9,10-dioxo- (CA  
 INDEX NAME)



L92 ANSWER 132 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1960:103328 HCAPLUS Full-text  
 DOCUMENT NUMBER: 54:103328  
 ORIGINAL REFERENCE NO.: 54:19616h-i,19617a-h  
 TITLE: Polarographic reduction of some biaryls and  
 arylalkenes  
 AUTHOR(S): Klemm, L. H.; Lind, C. D.; Spence, J. T.  
 CORPORATE SOURCE: Univ. of Oregon, Eugene  
 SOURCE: Journal of Organic Chemistry (1960), 25,  
 611-16  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

AB Polarographic half-wave reduction potentials of 27 aromatic hydrocarbons (including 15 conjugated alkenylnaphthalenes, the phenylnaphthalenes, the phenylantracenes, and the binaphthyls) were obtained under comparable conditions in a solvent-electrolyte mixture of 0.1M tetrabutylammonium iodide (I) in 75% dioxane-H<sub>2</sub>O. In general, among isomeric compds., the facility of reduction was found to increase with lessened steric restriction to the attainment of coplanarity in the mol. Notable exceptions to this rule were found in the cases of the vinyl- and cyclopentenynaphthalenes, where the 1-naphthyl isomers were reduced at slightly less neg. potentials than the sterically less-hindered 2-isomers. Results were interpreted in terms of angles of twist present in the substrate mols. at the time of electron

addition (transition state) and inherent conjugative powers of the alkenyl and aryl moieties. Coulometric data were reported for 7 compds. The solvent-electrolyte mixture, 0.1M I in 75% dioxane in H<sub>2</sub>O, was pre-electrolyzed in an H type cell 0.5 hr. at an applied potential of 2.8 v. in an atmospheric of N (the resultant solution had a pH of 9). Polarography proper was conducted with a Fisher electrode, an attached potentiometer for measuring E, and a thermostated 3-compartmented cell. The anode compartment constituted a saturated calomel electrode. The intermediate compartment contained saturated aqueous I to reduce diffusion of K ion from anode to cathode and of dioxane in the opposite direction. To the cathode compartment were added 5 ml. of pre-electrolyzed solution and 1, 2, and 3 ml. of hydrocarbon stock solution. The current was obtained from the average of the min. and maximum deflections. Values of E<sub>1/2</sub> were corrected for iR drop across the cell and generally were within 8 mv. of one another. The following results were obtained [parent substrate, substituents on parent mol., half-wave reduction potentials in v. for 1st wave, 2nd wave, id/cm.2/3t1/6 (in  $\mu$ amp.-millimole-1-l.-mg.-2/3 sec.1/2) 1st wave, 2nd wave given]: naphthalene (II), none, -, 2.46, -, 2.6; II, 1-vinyl, 2.09, 2.52, 2.5, 2.6; II, 2-vinyl, 2.12-2.15, 2.54, 2.7-3.9, 2.7; II, 1-(1-cyclopentenyl), 2.27, 2.53, 2.1, 2.2; II, 2-(1-cyclopentenyl), 2.30, 2.55, 2.1, 3.4; II, 1-(1-cyclohexenyl), 2.46, 2.56, 1.7, 1.9; II, 2-(1-cyclohexenyl), 2.38, 2.56, 2.1, 3.1; II, 1-(1-cycloheptenyl), 2.41, 2.52, 1.9, 2.0; II, 2-(1-cycloheptenyl), 2.35, 2.56, 1.7, 1.5; II, 1-cyclopentyl, -, 2.53, -, 3.4; II, 1-Ph, 2.40, -, 2.5, -, II, 2-Ph, 2.30, 2.51, 2.5, 2.6; II, 1-(2-methyl-1-cyclopentenyl), (2.42), (2.50), (1.3), (1.3); II, 2-(5-methyl-1-cyclopentenyl), 2.37, 2.50, 1.7, 1.4; II, 1-(6-methyl-1-cyclohexenyl), 2.43, 2.52, 1.8, 1.7; II, 1-(2-methyl-1-cyclohexenyl), (2.46), (2.55), (1.4), (1.4); II, 2-(6-methyl-1-cyclohexenyl), 2.42, 2.50, 1.2, 1.1; II, 8-methyl, 1-(1-cyclopentenyl), 2.36, 2.51, 1.8, 1.8; II, 8-methyl, 1-(1-cyclohexenyl), (2.42), (2.49), (1.1), (1.1); II, 1-(1-naphthyl), 2.33, 2.54, -, -, II, 1-(2-naphthyl), 2.24, 2.47, 1.1, 2.3; II, 2-(2-naphthyl), 2.17, 2.47, -, -, anthracene (III), none, 1.96, -, 1.9, -, III, 1-Ph, 1.89, ?, 0.8, -, III, 2-Ph, 1.87, 2.58, -, -, III, 9-Ph, 1.92, -, 1.8, -, III, 9-Me, 1.94, -, 2.1, -, III, 9-(9-anthryl), 1.97, 2.38, 3.0, 0.9; naphthacene (IV), none, 1.58, 1.84, -, -, IV, 9,10,11,12-tetraphenyl, 1.55, 1.80, -, -. The electrolytic cell consisted of a 250 ml. beaker containing a lower layer of Hg and an upper layer of 50 ml. 75% dioxane and 0.1M I and the reducible hydrocarbon and an anode compartment partitioned by means of a glass sieve. The entire cell was sealed from air by means of a plate. In operation, the solvent electrolyte mixture was pre-electrolyzed by allowing the current of 50 milliamp. to flow through the cell 15 min., then a potential difference of 20 v. maintained until the cathode had attained the potential desired for the electroredn. During this time, a record of current v. cathode potential was made. A sample of 1 ml. standard 0.1-0.2M hydrocarbon substrate in 75% dioxane was added to the cathode compartment and a timer started. When the current had reached a steady background value (1-3 hrs.), electrolysis was stopped. The total number of coulombs passed during the electrolysis proper was corrected for background. A sample of the solution was withdrawn and tested for unsatn. by aqueous permanganate. The following results were obtained (parent compound, substituent, controlled cathode potential, number of trials, electrons absorbed/mol. of compound, permanganate test on resultant solution given): II, none, -2.60, 4, 2.0, pos.; II, 1-(1-cyclopentenyl), -2.40, 1, 2.0, neg.; II, 1-(1-cyclohexenyl), -2.65, 2, 4.1, pos.; II, 1-(1-cyclopentenyl), -2.60, 3, 4.1, pos.; II, 2-(5-methyl-1-cyclopentenyl), -2.65, 3, 4.1, pos.; II, 2-(6-methyl-1-cyclohexenyl), -2.60, 3, 4.2, pos.; II, 1-(1-naphthyl), -2.42, 1, 4.0, pos.; II, 1-(1-naphthyl), -2.65, 3, 6.9, pos.

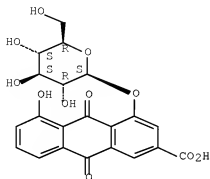
IT 114005-89-9

(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 114005-89-9 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4-( $\beta$ -D-glucopyranosyloxy)-9,10-dihydro-5-hydroxy-9,10-dioxo- (CA INDEX NAME)

Absolute stereochemistry.



L92 ANSWER 133 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1960:103327 HCAPLUS Full-text

DOCUMENT NUMBER: 54:103327

ORIGINAL REFERENCE NO.: 54:19616c-h

TITLE: Condensation reactions of carbon monoxide with

aluminum chloride and aromatic systems

AUTHOR(S): Crandall, Elbert W.; Smith, C. H.; Horn, R. C.

CORPORATE SOURCE: Kansas State Coll., Pittsburg

SOURCE: Journal of Organic Chemistry (1960), 25,  
329-31

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

AB CO reacted with aromatic hydrocarbons in the presence of molar amts. of  $\text{AlCl}_3$  at  $60^\circ$  and 50 lb./sq. in. to give substituted anthracenes. PhMe (60 ml.) and 25 g.  $\text{AlCl}_3$  placed in a Parr hydrogenation apparatus, the system pressurized with CO to 50 lb./sq. in., the mixture agitated, allowed to react 12 hrs. at  $60^\circ$ , decomposed with ice and HCl, steam distilled, the solid residue from the steam distillation filtered off, dried (azeotropically with  $\text{C}_6\text{H}_6$ ), sublimed at 1 mm., and the product crystallized from ligroine (b.  $60-90^\circ$ ) gave 2.1 g. mixture (I) of 2,6- and 2,7-dimethylantracene, m.  $223-5^\circ$ .  $\text{CrO}_3$  (2.0 g.) in 2.0 ml.  $\text{H}_2\text{O}$  and 8 ml. AcOH added during 1 hr. to a gently refluxing solution of 0.45 g. I in 7 ml. AcOH, the solution cooled, diluted with 200 ml.  $\text{H}_2\text{O}$ , the precipitate filtered off, washed with  $\text{H}_2\text{O}$ , dilute aqueous NaOH, and  $\text{H}_2\text{O}$ , and crystallized from 95% EtOH gave 0.41 g. mixture 2,6- and 2,7-dimethylantracene, m.  $154-5^\circ$ . Ph $2\text{CH}_2$  (60 ml.) and 25 g.  $\text{AlCl}_3$  shaken 12 hrs. at  $60^\circ$  with CO at 50 lb./sq. in., the mixture decomposed, the solid (10 g.) sublimed at 1 mm., and the product crystallized from ligroine gave 3 g. mixture (II) of 2,6- and 2,7-dibenzylantracene, m.  $191-2^\circ$ . II (1.0 g.) oxidized with 4 g.  $\text{CrO}_3$ , 28 ml. AcOH, and 4 ml.  $\text{H}_2\text{O}$  gave 1.09 g. mixture of dibenzoylantracene, m.  $241-2^\circ$  (95% EtOH). PhMe (60 ml.) and 25 g.  $\text{AlCl}_3$  treated with CO as above, the mixture agitated 12 hrs. at  $30^\circ$ , steam distilled, the organic layer in the steam distillate separated, treated with saturated aqueous  $\text{NaHSO}_3$ , and the solid filtered off, dried, and decomposed with concentrated HCl gave 3.86 g. 4-Me $\text{C}_6\text{H}_4\text{CHO}$  (III) (2,4-dinitrophenylhydrazone m.  $233-5^\circ$ ); recovery of the solid from the steam distillation gave 0.7 g. I, m.  $223-5^\circ$ . A similar experiment at  $40^\circ$  gave 0.1 g. III and 2.1 g. I; at  $50^\circ$ , 0.1 g. III and 2.7 g. I. Ph $2\text{O}$  (60 ml.) and 25 g.



AlCl<sub>3</sub> shaken 16 hrs. at 80° with CO at 50 lb./sq. in., the mixture steam distilled (Ph<sub>2</sub>O came over 1st, followed by a white solid), and the solid filtered off gave 2.1 g. xanthidrol, m. 120-2°; dixanthyl urea derivative m. 260-1°. p-Xylene (60 ml.) and 25 g. AlCl<sub>3</sub> shaken 16 hrs. at 60° with CO at 50 lb./sq. in., the mixture steam distilled, and the residual solid (16.00 g.) sublimed in vacuo gave 8.5 g. tetramethylantracene, probably the 1,4,5,8-isomer, m. 268-9° (ligroine). The ultraviolet absorption spectral data were recorded.

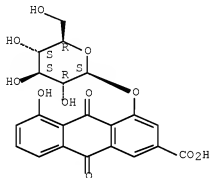
IT 114005-89-9

(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 114005-89-9 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4-(β-D-glucopyranosyloxy)-9,10-dihydro-5-hydroxy-9,10-dioxo- (CA INDEX NAME)

Absolute stereochemistry.



L92 ANSWER 134 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1958:82505 HCAPLUS Full-text

DOCUMENT NUMBER: 52:82505

ORIGINAL REFERENCE NO.: 52:14576f-h

TITLE: Damnacanthus genus. V. Some derivatives of damnacanthal-munjistin dimethyl ether

AUTHOR(S): Nonomura, Susumu

CORPORATE SOURCE: Univ. Kumamoto

SOURCE: Pharmaceutical Bulletin (1957), 5, 366-8

CODEN: PHBUA9; ISSN: 0369-9471

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

AB cf. C.A. 50, 14681c. Repetition of the previously reported (C.A. 50, 1719a) methylation of damnacanthal (I) in Me<sub>2</sub>CO with CH<sub>2</sub>N<sub>2</sub> gave the same Me ketone derivative (II) as before, pale yellow needles, m. 175° (MeOH), proved here by analysis and infrared spectrum to contain Ac and MeO groups in place of the OHC and HO groups, resp., of I. However, 0.5 g. I heated 1 hr. with 25 g. MeI and 1 g. Ag<sub>2</sub>O, and the filtrate from Ag<sub>2</sub>O distilled gave the tri-MeO derivative (III) of I, m. 145°, containing (MeO)<sub>2</sub>CH and MeO groups in place of OHC and HO, resp., of I. Finally, methylation of 0.5 g. I in 100 cc. Me<sub>2</sub>CO by heating 5 hrs. with 3 cc. Me<sub>2</sub>SO<sub>4</sub> and 10 g. dry K<sub>2</sub>CO<sub>3</sub> gave the mono-Me ether (IV) of I, m. 125°, and from the mother liquor munjistin di-Me ether (Me ether of damnacanthic acid), m. 263-5° (Me<sub>2</sub>CO), containing CO<sub>2</sub>H and MeO groups in place of OHC and HO, resp., of I. Confirmation of the structures of II-IV was

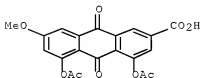
obtained from their infrared spectra (curves shown from 3 to 6.5  $\mu$ ), compared with the spectra of the anil and hydrazone of I.

IT 102555-69-1

(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 102555-69-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-7-methoxy-9,10-dioxo- (CA INDEX NAME)



L92 ANSWER 135 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1957:43263 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 51:43263

ORIGINAL REFERENCE NO.: 51:8054g-i

TITLE: Chloromethylation of anthracenes

AUTHOR(S): Gudriniece, E.; Vanags, G.

CORPORATE SOURCE: Latvian State Univ., Riga

SOURCE: Zhurnal Obshchei Khimii (1956), 26, 3123-5

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

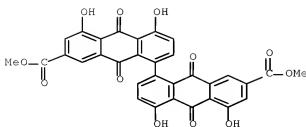
AB cf. Miller, et al., C.A. 50, 3367d. Heating with stirring 4.5 hrs. a mixture of 35.6 g. anthracene, 22 g. paraformaldehyde, 130 ml. AcOH, 16.5 ml. 85% or 13.2 g. solid H<sub>3</sub>PO<sub>4</sub>, and 80 ml. concentrated HCl at 80-5°, followed by dilution with H<sub>2</sub>O gave 83.9% crude 9,10-bis(chloromethyl)anthracene (I), m. 280° (darkens at 262°; from xylene). Treated with CrO<sub>3</sub> it gave anthraquinone. When the mixture was made up as above and was heated gradually to 80-5°, much tar was formed from which some anthracene might be recovered along with 14.7% bis(chloromethyl) derivative. For best results the above mixture must be immersed into the bath which had been preheated to 80-5°. Refluxing I with excess piperidine 20-30 min. gave 94.6% 9,10-bis-(piperidinomethyl)anthracene, m. 204° (from EtOH-Me<sub>2</sub>CO). Heating I with PhNH<sub>2</sub> at 100° 2 hrs. similarly gave 81.5% 9,10-bis(anilinomethyl)anthracene, m. 268° (from dioxane), soluble in mineral acids, repptd. on dilution

IT 116153-11-8 116378-67-7

(Derived from data in the 6th Collective Formula Index (1957-1961))

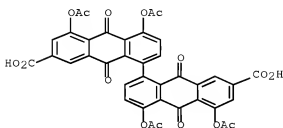
RN 116153-11-8 HCAPLUS

CN [1,1'-Bianthracene]-7,7'-dicarboxylic acid,  
9,9',10,10'-tetrahydro-4,4',5,5'-tetrahydroxy-9,9',10,10'-tetraoxo-,  
7,7'-dimethyl ester (CA INDEX NAME)



RN 116378-67-7 HCAPLUS

CN [1,1'-Bianthracene]-7,7'-dicarboxylic acid,  
4,4',5,5'-tetrakis(acetyloxy)-9,9',10,10'-tetrahydro-9,9',10,10'-tetraoxo-  
(CA INDEX NAME)



L92 ANSWER 136 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1957:12764 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 51:12764

ORIGINAL REFERENCE NO.: 51:2699b-c

TITLE: Conditions for the synthesis of aminoanthraquinone. I.  
1-Methylaminoanthraquinone

AUTHOR(S): Handa, Takashi; Aoki, Junji; Kamada, Yutaka

CORPORATE SOURCE: Tokyo Univ.

SOURCE: J. Soc. Org. Synthet. Chem., Japan (1955),  
13, 311-14

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

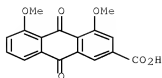
AB The synthesis of 1-methylaminoanthraquinone (I) by heating K anthraquinone-1-sulfonate (II) with m-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>Na (III) and MeNH<sub>2</sub> solution (IV) is studied. II (1 mole), 0.577 mole III and 6.28-8.7 mole IV heated at 140° for 6.5-8.0 hrs. gave 77-8% I, m. 170-2. Above 145° I of lower purity is obtained.

IT 72049-24-2F, 2-Anthraquinonecarboxylic acid, 4,5-dimethoxy-

RL: PREP (Preparation)  
(preparation of)

RN 72049-24-2 HCAPLUS

CN 2-Anthraquinonecarboxylic acid, 9,10-dihydro-4,5-dimethoxy-9,10-dioxo- (CA  
INDEX NAME)



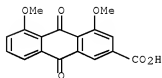
L92 ANSWER 137 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1957:12763 HCAPLUS Full-text  
 DOCUMENT NUMBER: 51:12763  
 ORIGINAL REFERENCE NO.: 51:2698e-i,2699a-b  
 TITLE: Aloins. I. Structure of barbaloin  
 AUTHOR(S): Hay, J. Evelyn; Haynes, L. J.  
 CORPORATE SOURCE: Univ. Edinburgh, UK  
 SOURCE: Journal of the Chemical Society (1956)  
 3141-7  
 CODEN: JCSOA9; ISSN: 0368-1769  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

AB Evidence was presented supporting the formulation of barbaloin (I) as 1,8-dihydroxy-3-hydroxy methyl-10- $\alpha$ -glucopyranosyl-9-anthrone. Commercial aloin (450 g.) recrystd. from H<sub>2</sub>O and then from MeOH gave 200 g. I, m. 148-8.5°. An x-ray photograph of I showed a typical fiber diagram. The dimensions of the a, b, and c axes were determined. The cell was orthorhombic and had a volume of 4080 Å. A density of 1.48 g./cc. was suggested, giving a value of 3590 for the mol. weight. Assuming 8 mols. per unit cell, this gave a value of the mol. weight as 449 ± 12. I (5 g.) in H<sub>2</sub>O refluxed 2 hrs. with 10 g. Na<sub>2</sub>B<sub>4</sub>O<sub>7</sub> and 2 g. Ph-NHNH<sub>2</sub>.HCl gave 1.5 g. aloë-emodin anthrone (II), needles, m. 199° (from AcOH). Repetition without PhNHNH<sub>2</sub>.HCl gave only 11% II. Using PhNHNH<sub>2</sub> gave 34% II, but the product m. 190-2° and could not be readily purified. I heated 2 hrs. at 100° with N HCl or with 38% HBr for 4 hrs. did not yield any sugar like substances. I (436 mg.) and 0.2M Na metaperiodate set aside at 0° showed by titration that the reaction was complete in 3 hrs. with a consumption of 2.1 moles periodate. HCO<sub>2</sub>H was detected in the distillate. I (5 millimoles) and 10 millimoles Na metaperiodate in H<sub>2</sub>O kept 4 hrs. at 0°, 2 mg. KBH<sub>4</sub> in H<sub>2</sub>O added, and the solution left overnight at 0°. Samples were hydrolyzed at 100° (a) with N HCl for 15 min., and (b) with 38% HBr for 15 min. and 1 hr. The hydrolysates were placed on a paper chromatogram and allowed to run in 10:4:3 EtOAc-C<sub>5</sub>H<sub>5</sub>N-H<sub>2</sub>O. I gave no white spot, but a white spot with RF 0.42 identifiable as glycerol, was given by I which had been treated as follows: I was oxidized and reduced, the aqueous solution saturated with salt and extracted with AmOH, the residue after removal of the alc. refluxed 15 min. at 115° with aqueous FeCl<sub>3</sub> and 6 hrs. at 125°, and the mixture passed through a column of Amberlite IR-120. I (10 g.) and 50 g. FeCl<sub>3</sub> in H<sub>2</sub>O similarly heated and extracted with PhMe gave 4 g. aloë-emodin (III), orange needles, m. 216-19° (from alc.). Sublimation at 160-70°/0.2 mm. gave II, m. 224-6°. The filtrate from III extracted with AmOH and passed through ion exchange columns gave 0.7 g. D-arabinose (IV), m. 155.5-6.5°, [ $\alpha$ ]<sub>D</sub>18 -104° (c 0.42, H<sub>2</sub>O). IV was identical with authentic IV and formed a diphenylhydrazone, m. 197°. Tetraacetylbarbaloin (0.5 g.) refluxed 0.5 hr. with dilute HCl, the product oxidized with FeCl<sub>3</sub> in H<sub>2</sub>O and paper chromatographed revealed the presence of IV. MeI (147 g.) and 64.8 g. Ag<sub>2</sub>O added during 8 hrs. to a refluxing solution of 14 g. I in Me<sub>2</sub>CO gave 14.1 g. red sirup which was methylated twice with Me<sub>2</sub>CO, 73 g. MeI, and 32 g. Ag<sub>2</sub>O. The resulting sirup passed through Al<sub>2</sub>O<sub>3</sub> gave 1.5 g. barbaloin heptamethyl ether (V), m. 180-2° (from alc.), [ $\alpha$ ]<sub>D</sub>19 -

12.3° (c 1.46, CHCl<sub>3</sub>). Mol. weight determination of V gave 516 ± 10. V (1 g.) mixed with H<sub>2</sub>O and treated during 45 min. with 2.5% aqueous KMnO<sub>4</sub>, then stirred and heated 3 hrs., and acidified yielded 250 mg. rhein dimethyl ether, m. 287-9°. The relation of infrared absorption spectra of I-V to structure was discussed.

IT 72049-24-2E, 2-Anthraquinonecarboxylic acid, 4,5-dimethoxy-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 72049-24-2 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dimethoxy-9,10-dioxo- (CA INDEX NAME)



L92 ANSWER 138 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1954:74417 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 48:74417

ORIGINAL REFERENCE NO.: 48:13166d-f

TITLE: Localization of anthraglycosides in the subterranean parts of Chinese rhubarb and its importance from the point of view of selection

AUTHOR(S): van Os, F. H. L.

CORPORATE SOURCE: Univ. Groningen, Neth.

SOURCE: Annales Pharmaceutiques Francaises (1954), 12, 257-67

CODEN: APFRAD; ISSN: 0003-4509

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

AB The distribution of various glycosides in rhizomes harvested at various seasons is presented in tables. Rhizomes which do not contain a star-formed marrow have inferior therapeutic value. The anthraquinone derivs. are mainly located in the cambial parts. It appears that anthraquinone compds. are formed in young tissue and combined to form glycosides with aging and deposited in the older tissue. Since free anthraquinone compds. may be absorbed by the small intestine, such young roots may exert a toxic action. Cambium of the root stems also show a high content. To judge the quality of the root it is postulated that the content in combined anthraquinones after oxidation is high and that the content in rhein is at least 1/2 of it.

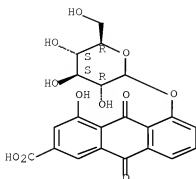
IT 28775-64-6, 2-Anthraquinonecarboxylic acid, 4,5-dihydroxy-, glucoside

(in Chinese rhubarb)

RN 28775-64-6 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4(or 5)-(D-glucopyranosyloxy)-9,10-dihydro-5(or 4)-hydroxy-9,10-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L92 ANSWER 139 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1951:29641 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 45:29641

ORIGINAL REFERENCE NO.: 45:5143f-i,5144a-i,5145a-b

TITLE: Anthraglycosides. VI. The constitution of the sennosides

AUTHOR(S): Stoll, A.; Becker, B.; Helfenstein, A.

SOURCE: Helvetica Chimica Acta (1950), 33, 313-36

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

ED Entered STN: 22 Apr 2001

AB cf. preceding abstract Previously it was established that the sennosides were derived from a rhein containing a reduced anthraquinone nucleus. Oxidation to the corresponding anthraquinone derivative could not be accomplished quantitatively. Catalytic hydrogenation with Pd at room temperature split the mol. into 2 equal halves and 1 mol. H was consumed. The new glucoside was judged to be 8-glucosidorheinanthrone (I). Its crystalline aglucon possessed the properties of an anthrone; in alkaline solution its color changed to red in the presence of air. Acetylation with Ac2O in C5H5N yielded a Me triacetoxo-3-anthracenecarboxylate. Its elementary analysis, mol. weight determination, and yellow fluorescence in the ultraviolet gave evidence of the anthrol structure. The consumption of H amounted to 1.2-1.5 mols. because of side reactions. The course of the reduction could be followed more closely with Na2S2O4 as the reducing agent. The anthrone glucoside was isolated in 75-85% yield; the H uptake was 0.9-1.05 mols./mol. sennoside. Reduction of the Me ester of sennosides A and B prepared by deacetylation of the deca-Ac esters yielded monomol. 8-glucosidorheinanthrone Me esters which were identical in m.p., solubility, optical rotation, and mol. weight. The 2 rheinanthrone mols. may be connected through a C-C bond or through an -O- or -O-O- bridge. Both the O bridges were ruled out, mainly owing to the stability of the sennidines to acid, while a C-C bond would be expected to be stable under such conditions. The possibility of splitting this bond by reducing agents was proved by the preparation of monomol. anthrone from the dihydro dianthrone with Sn in glacial AcOH. A prerequisite for reductive splitting under mild conditions is the presence of at least 1 free OH group in the  $\alpha$ -position of the anthrone nucleus. Final proof for the C-C connection was established through the preparation of a crystalline derivative in which the meso-O was acetylated. The tetraacetylsennidin may be prepared with Ac2O in the presence of a small amount of H2SO4. Acetylation of the meso-O is accomplished with Ac2O in C5H5N. The hexa-Ac derivs. of sennidine A and B were identical. The meso-Ac compds. were very resistant against reducing

agents and could be split only under very stringent conditions, with simultaneous deacetylation and deetherification. The position of the anthrone O was fixed at position 9. According to Perkin (C.A. 28, 762.4) the reduction of  $\alpha$ -hydroxyanthraquinones in which the OH group was protected led to 10-anthrone, while in the case of an unprotected OH group, the 9-derivative results. Accordingly the anthrone prepared from the sennosides was the 9-derivative. A further proof for the constitution of the sennidins as 9-anthrone derivs. was furnished by comparing the ease with which 9- and 10-anthrone can be methylated. Sennosides A and B are very similar in appearance, solubility, m.p., but they are not identical. Sennidins possess in positions 10 and 10' 2 asym. C atoms which give rise to 4 theoretical isomers (d, l, dl, and a meso). Sennidin A was strongly d-rotatory while B showed no optical activity. B could not be split into optically active components and is the meso form. The structure of the sennidins was proved by synthesis. Sennoside A (1 g.) in 20 ml. 0.1 N NaHCO<sub>3</sub> was heated on a steam bath with 1 g. Na<sub>2</sub>S<sub>2</sub>O<sub>4</sub>, an addnl. 1 g. was added, and heated for 20 min. Crystalline I (0.8 g.), sensitive to air, was dissolved in hot Me<sub>2</sub>CO:H<sub>2</sub>O (2:1), the Me<sub>2</sub>CO distilled in vacuo, and I, decompose at 220-250°, insol. in H<sub>2</sub>O, CHCl<sub>3</sub> and C<sub>6</sub>H<sub>6</sub>, soluble in CH<sub>3</sub>OH, C<sub>2</sub>H<sub>5</sub>OH, CH<sub>2</sub>OHCH<sub>2</sub>OCH<sub>2</sub>CH<sub>3</sub>, dioxane, and Me<sub>2</sub>CO, crystallized spontaneously. The reduction of sennoside B yielded identical I, C<sub>21</sub>H<sub>20</sub>O<sub>10</sub>,  $\alpha$ 20D -70° (c 0.2, 70% dioxane), -120° (c 0.1, 70% Me<sub>2</sub>CO). I (200 mg.) dissolved in 40 ml. hot glacial AcOH and refluxed with 5 ml. concentrated HCl gave on cooling, 80 mg. of 1,8-dihydroxy-9-oxodihydro-3-anthracenecarboxylic acid (II), m. 250-80° (decomposition). II was slurried with Ac<sub>2</sub>O and a trace of H<sub>2</sub>SO<sub>4</sub>, decomposed with H<sub>2</sub>O after 12 hrs., the triacetyl compound dissolved in Me<sub>2</sub>CO, and treated with CH<sub>2</sub>N<sub>2</sub> in Et<sub>2</sub>O to yield Me 1,8,9-triacetoxy-3-anthracenecarboxylate, m. 220-222°. The deca-Ac ester of A or B (C.A. 45, 2459a) (1 g.) suspended in 10 ml. MeOH and dissolved with 9 ml. N KOH in CH<sub>3</sub>OH, dissolved in hot Me<sub>2</sub>CO:H<sub>2</sub>O (2:1), and crystallized by addition of H<sub>2</sub>O gave from A, C<sub>44</sub>H<sub>42</sub>O<sub>20</sub>, m. 206-208°,  $\alpha$ 20D -90° (c 0.2, 70% dioxane), from B, C<sub>44</sub>H<sub>42</sub>O<sub>20</sub>, m. 196-198°,  $\alpha$ 20D -48° (c 0.2, 70% dioxane). Either compound reduced with Na<sub>2</sub>S<sub>2</sub>O<sub>4</sub> in 70% dioxane yielded an identical product, m. 204-10°  $\alpha$ 20D -170° (c 0.1, 70% Me<sub>2</sub>CO), -104° (c 0.2, 70% dioxane). Hydrolysis with concentrate HCl yielded II Me ester, m. 188-191° (from CHCl<sub>3</sub>CH<sub>3</sub>OH). Sennidine A or B (200 mg.) suspended in H<sub>2</sub>O, dissolved in the min. amount of NaOH, and reduced with Na<sub>2</sub>S<sub>2</sub>O<sub>4</sub> (400 mg.) on a steam bath yields 130 mg. 9-rheinanthrone, crystals, m. 250-80° (decomposition). di-Me sennoside B (1 g.) in 10 ml. H<sub>2</sub>O was heated with 10 ml. 8 N H<sub>2</sub>SO<sub>4</sub>, the aglucon dissolved in 100 ml. boiling Me<sub>2</sub>CO, and crystallized, the sennidin derivative, C<sub>34</sub>H<sub>26</sub>O<sub>10</sub>, m. 183-5°, was reduced with Pd-H in dioxane, the residue was acetylated with Ac<sub>2</sub>O in C<sub>6</sub>H<sub>5</sub>N to yield Me 1-methoxy-8,9-diacetoxy-3-anthracenecarboxylate, m. 214-16°. di-Me tetramethylsennidin B (500 mg.) in 15 ml. glacial AcOH was heated to 135-40° with Zn powder, concentrated, and its aqueous suspension extracted with CHCl<sub>3</sub>. On addition of MeOH, Me 1,8-dimethoxy-9-oxo-dihydro-3-anthracenecarboxylate, C<sub>18</sub>H<sub>16</sub>O<sub>5</sub>, m. 201-2°, crystallized. The identical compound was obtained from the A derivative, which could be oxidized to 1,8-dimethylrhein Me ester, m. 206-7°. di-Me tetracetylennidin (A or B) (500 mg.) refluxed in 15 ml. glacial AcOH and 200 mg. Zn powder yielded 250 mg. of di-Me 1,8-diacetylrheinaphthronone, m. 194-7°; for the determination of constitution it was oxidized to Me 1,8-diacetylrhein. Sennidin A (1 g.) was acetylated in 6 ml. C<sub>6</sub>H<sub>5</sub>N and 3 ml. Ac<sub>2</sub>O and consequently methylated with CH<sub>2</sub>N<sub>2</sub> in dioxane. di-Me hexaacetylennidine became brown at 255°, black at 280°, and m. 302-3°. The same compound is obtained from sennidin B. di-Me tetramethylsennidine A refluxed with 20ml. C<sub>6</sub>H<sub>5</sub>N and 10 ml. Ac<sub>2</sub>O for 6 hours in an atmospheric of N gave 60-70% of the 9,9'-diacetyl compound, becomes colored at 310°, sinters at 335°, and m. 338-40°. The B isomer yielded an identical product. According to Perkin (loc. cit.), reduction of diacetylidianthronone leads to splitting to anthrone under elimination of the meso-Ac groups. The reduction of di-Me hexaacetylennidin

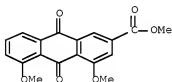
yields Me 1,8,9-triacetoxy-3-anthracenecarboxylate (acetylation of split product); the reduction of di-Me tetramethyl-9,9'-diacetylsennidin leads to a rheinanthrone identical with the product from the hexa-Ac product. 9-Rheinanthrone (540 mg.) in 10 ml. H<sub>2</sub>O was added to a suspension of 100 mg. Pd in 10 ml. 0.2 N NaOH saturated with O, the reaction mixture acetylated, and 475 mg. di-Me tetraacetylsennidin isolated. Tetramethyl sennidin ester was prepared accordingly. Partial synthesis of sennosides A and B was accomplished through oxidation of I with Pd catalyst.

IT 6211-34-3P, 2-Anthraquinonecarboxylic acid, 4,5-dimethoxy-, methyl ester

RL: PREP (Preparation)  
(preparation of)

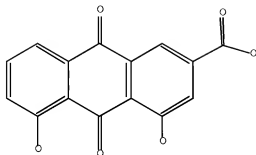
RN 6211-34-3 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dimethoxy-9,10-dioxo-, methyl ester (CA INDEX NAME)





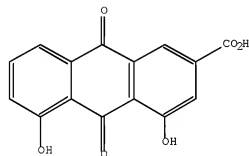
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Structure attributes must be viewed using STN Express query preparation.

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L62     1 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON "2-ANTHRACENECARBOXYL
        IC ACID, 9,10-DIHYDRO-4,5-DIHYDROXY-9,10-DIOXO-"/CN
L63     6 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L61 NOT L62
L67     176 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L63
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G1 H

Structure attributes must be viewed using STN Express query preparation.

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L77     103 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L67 NOT L76
L78     73 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L77 AND (PRY<=2004 OR
        AY<=2004 OR PY<=2004)
  
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L92 ANSWER 11 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:283448 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:355099  
 TITLE: Method for the purification of crude diacerein by means of toluene extraction  
 INVENTOR(S): Casazza Fanchini, Umberto Bruno  
 PATENT ASSIGNEE(S): Interquim, S.A. De C.V., Mex.  
 SOURCE: PCT Int. Appl., 23 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Spanish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005028412	A1	20050331	WO 2004-MX58	20040806 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SH, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
MX 2003PA08622	A	20050330	MX 2003-PA8622	20030923 <--
EP 1669344	A1	20060614	EP 2004-748574	20040806 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
US 20070037992	A1	20070215	US 2006-573300	20060322 <--
PRIORITY APPLN. INFO.:			MX 2003-PA8622	A 20030923 <--
			WO 2004-MX58	W 20040806 <--

ED Entered STN: 01 Apr 2005

AB The invention relates to a method for the purification of crude diacerein (I), utilizing toluene or other water-immiscible organic solvents. In comparison to known methods, the invention (1) avoids the use of EDTA, (2) does not cause alkaline hydrolysis of I, and (3) gives good simultaneous removal of two impurities, aloes-emodin and chromium. The inventive method begins by dissolving crude I in acetone/water (1:1), using approx. 13 vols. of the solvent mixture based on I. The pH is adjusted to between 6.6 and 7.2 and, preferably, to between 7 and 7.2, with a tertiary amine in acetone, whereby any Cl-4 trialkylamine can be used, preferably Me3N, Et3N, n-Pr3N, MeEt2N, and n-PrEt2N, with Et3N being preferred. After stirring until I is dissolved completely, a water-immiscible organic solvent is added, and the mixture is stirred again. According to the invention, between 5 and 15 continuous extractions are made with the water-immiscible organic solvent, and the organic phase is separated each time. I crystallizes in the acetone/water phase when the neutral pH is changed to acid pH using HCl, H2SO4, or H3PO4. The crystallized I is centrifuged or filtered, washed with water, and dried. I is obtained with a yield of 90-93%, an average purity of 99.17%, an aloes-emodin content of 7-10 ppm, and a chromium content of 20-25 ppm. For instance, on a 100-kg crude scale, equivalent to 62 kg dry I, using Et3N as the base, H3PO4 as the acid, and 100 L of toluene in 10 10-L portions, a weight yield of 91-92.5% I

was obtained. The purity of I was 99.24%, with only 8 ppm aloë-emodin and 23 ppm chromium. Nearly identical results were obtained using the aforementioned specific alternatives for the base, acid, and water-immiscible solvent.

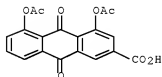
IT 13739-02-1F, Diacerein

RL: PUR (Purification or recovery); PREP (Preparation)

(purification of crude diacerein by extractive process using toluene and other water-immiscible organic solvents)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 12 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:220344 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:273266

TITLE: Diacerein. New therapeutic approach in osteoarthritis

AUTHOR(S): Pereira, A. Acosta; de la Serna, A. Rodriguez

CORPORATE SOURCE: Servicio de Reumatología, Hospital de la Santa Creu i Sant Pau, Departamento de Medicina. Facultad de Medicina, Universidad Autonoma de Barcelona, Barcelona, Spain

SOURCE: Dolor (2004), 19(4), 221-226

CODEN: DOLOFV; ISSN: 0214-0659

PUBLISHER: Publicaciones Permanyer

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Spanish

ED Entered STN: 14 Mar 2005

AB A review. Arthrosis is the most prevalent and costly arthropathy in elderly humans. Various approaches to medical treatment have been investigated and at present there are alternative treatments based on better understanding of the cartilage metabolic process, where degenerative and repair processes are involved in causing the symptoms of synovial inflammation. Diacerein is a purified low-mol.-weight compound with heterocyclic anthraquinonic structure called 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-2-anthracenecarboxylic acid. It was discovered in 1970 in Italy and has specific antiosteoarthritic activity, modifies the symptoms of slow action osteoarthritis, and corresponds to the SYSADOA group (SYmptomatic Slow Acting Drugs in OsteoArthritis), together with chondroitin sulfate, glucosamine sulfate, and hyaluronic acid. Both in vitro and in vivo, diacerein inhibits the biosynthesis and activity of interleukin-1, an immunogenic and inflammatory process involved in the physiopathol. of arthrosis, which nevertheless still continues to be complex and multifactorial process.

IT 13739-02-1, Diacerein

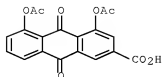
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(diacerein pharmacol. and new therapeutic approach in osteoarthritis and arthrosis in humans)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 13 OF 139 HCAPLUS COPYRIGHT 2008 ACS ON STN  
ACCESSION NUMBER: 2004:1127761 HCAPLUS Full-text  
DOCUMENT NUMBER: 143:662  
TITLE: Evaluation of the symptomatic and structural efficacy of a new hyaluronic acid compound, NRD101, in comparison with diacerein and placebo in a 1 year randomised controlled study in symptomatic knee osteoarthritis  
AUTHOR(S): Pham, T.; Le Henanff, A.; Ravaud, Ph; Dieppe, P.; Paolozzi, L.; Dougados, M.  
CORPORATE SOURCE: Conception Hospital, Marseille, Fr.  
SOURCE: Annals of the Rheumatic Diseases (2004), 63(12), 1611-1617  
CODEN: ARDIAO; ISSN: 0003-4967  
PUBLISHER: BMJ Publishing Group  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 24 Dec 2004

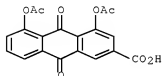
AB To evaluate long term efficacy of three iterative courses of three weekly intra-articular (IA) injections of NRD101 in the treatment of symptomatic knee osteoarthritis (OA). A 1 yr prospective, multicenter, randomized, double blind, placebo controlled study of 301 patients aged >50 years with painful and radiol. medial knee OA. Patients were randomly assigned into three groups receiving: (1) 3 courses of 3 IA injections of hyaluronic acid (HA) + oral placebo; (2) IA injections of saline solution + diacerein 100 mg/day, or (3) IA injection of saline solution + oral placebo. Demog. data and symptomatic criteria-pain, Lequesne's index, patient's global assessment of disease activity, percentage of painful days-were obtained during the study; primary structural criterion was JSW. Efficacy criteria were changes in pain VAS, joint space narrowing (JSN), and percentage of progressors (JSN >0.5 mm). An intention to treat anal. was used for symptomatic variables, and completer anal. for structural variables. Baseline characteristics were similar between the three groups. Mean (SD) improvement in pain VAS was clin. relevant (-33.9 (27.3), n = 301), but with no difference between the groups (p = 0.96). JSW deteriorated (-0.09 (0.55) mm, n = 277, p = 0.01), but with no difference between the groups (p = 0.82). Percentages of progressors were 17.7, 18.9, and 20.3% (p = 0.90), in groups 1, 2, and 3, resp. A weak but statistically significant structural deterioration occurred over 1 yr, together with clin. relevant symptomatic improvement in patients receiving oral drug and iterative IA injections. Symptomatic and/or structural effects for both this new HA compound and diacerein were not demonstrated.

IT 13735-02-1, Diacerein  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(no significant symptomatic or structural efficacy of intra-articular injection of hyaluronic acid compound NRD101 compared with diacerein was demonstrated in treatment of patient with symptomatic knee osteoarthritis)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 14 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:490811 HCAPLUS Full-text  
 DOCUMENT NUMBER: 141:38451  
 TITLE: Extraction process for purifying diacerein  
 INVENTOR(S): Maggi, Domenico  
 PATENT ASSIGNEE(S): Synteco S.p.A., Italy  
 SOURCE: PCT Int. Appl., 10 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050601	A2	20040617	WO 2003-EP13194	20031124 <--
WO 2004050601	A3	20040819		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2507582	A1	20040617	CA 2003-2507582	20031124 <--
AU 2003296594	A1	20040623	AU 2003-296594	20031124 <--
EP 1567474	A2	20050831	EP 2003-812156	20031124 <--
EP 1567474	B1	20081022		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003016530	A	20051004	BR 2003-16530	20031124 <--
CN 1717386	A	20060104	CN 2003-80104230	20031124 <--
CN 100396659	C	20080625		
JP 2006508157	T	20060309	JP 2004-556176	20031124 <--
AT 411975	T	20081115	AT 2003-812156	20031124 <--
IN 2005DN02193	A	20080926	IN 2005-DN2193	20050524 <--

MX 2005PA05577	A	20050727	MX 2005-PA5577	20050525 <--
ZA 2005004303	A	20060726	ZA 2005-4303	20050526 <--
US 20060135797	A1	20060622	US 2005-536313	20051104 <--
PRIORITY APPLN. INFO.:			IT 2002-MI2535	A 20021129 <--
			WO 2003-EP13194	W 20031124 <--

ED Entered STN: 17 Jun 2004

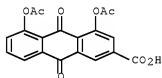
AB A extraction process for obtaining diacerein with an aloe-emodin content of <100 ppm, preferably of 0-5 ppm, is described which comprises subjecting an aqueous-organic solution of a diacerein salt with a weak base to extraction with a water immiscible or sparingly water-miscible solvent, such as toluene, acetates of C2-4 alcs., halohydrocarbons and the like.

IT 13739-02-1P, Diacerein

RL: PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); PREP (Preparation); PROC (Process) (extraction process for purifying diacerein)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo- (CA INDEX NAME)



L92 ANSWER 15 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:197517 HCAPLUS Full-text

DOCUMENT NUMBER: 140:297122

TITLE: Place of OTC analgesics and NSAIDs in osteoarthritis

AUTHOR(S): Moore, Nicholas

CORPORATE SOURCE: Department of Pharmacology, Universite Victor Segalen, Bordeaux, 33076, Fr.

SOURCE: Inflammopharmacology (2003), 11(4-6), 355-362

CODEN: IAOAES; ISSN: 0925-4692

PUBLISHER: VSP BV

DOCUMENT TYPE: Journal

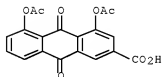
LANGUAGE: English

ED Entered STN: 11 Mar 2004

AB The risk related to the use of non-steroid anti-inflammatory drugs (NSAIDs) depends on the dose and duration of their use, in addition to the nature of the drug, and patient characteristics. The measures of risk and recent promotion of safer drugs have been mostly based on the results of clin. trials using continuous full-dose use of NSAIDs for periods up to 12 mo which may not reflect real-life use and risks of the drugs. To assess this we did two studies of the utilization of NSAIDs, one in a claims database to measure the amount of drugs dispensed to OA patients over 9 mo, which showed that only a small fraction of patients actually bought enough analgesics or NSAIDs to cover the whole study period. On average, patients bought enough NSAIDs to cover 60 of 270 days. The second study was a survey of General Practitioners and rheumatologists to assess the number of users of NSAIDs seen over 2 days' consultations, the indications for and patterns of NSAIDs use. 11 % Of GP patients and 26% of rheumatologists' patients used NSAIDs, one-third for osteoarthritis (OA), about 8-10% for rheumatoid arthritis (RA) and the rest for various painful conditions. In OA and other conditions patients, more

than 70% of patients had been taking their NSAIDs for less than 15 days at the time of consultation, whereas 42% of RA patients had been taking them for more than 6 mo.

IT 13739-02-1, Diacerhein  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (OTC analgesics and NSAIDs for patients with arthritis)  
 RN 13739-02-1 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 16 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:132601 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:99295

TITLE: Attenuation of inflammatory polyarthritis in TNF transgenic mice by diacerein: comparative analysis with dexamethasone, methotrexate and anti-TNF protocols

AUTHOR(S): Douni, Eleni; Sfrikakis, Petros P.; Haralambous, Sylva; Fernandes, Peter; Kollias, George

CORPORATE SOURCE: Biomedical Sciences Research Center 'Alexander Fleming', Institute of Immunology, Vari, 16672, Greece

SOURCE: Arthritis Research & Therapy (2004), 6(1), R65-R72

CODEN: ARTRCV; ISSN: 1478-6362

URL: <http://arthritis-research.com/content/pdf/ar1028.pdf>

PUBLISHER: BioMed Central Ltd.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

ED Entered STN: 19 Feb 2004

AB The impact of diacerein, an effective cartilage targeted therapy that is used in patients with osteoarthritis, on the development and progression of chronic inflammatory arthritis was evaluated in a tumor necrosis factor (TNF) transgenic mouse model (Tg197). The response to diacerein at 2, 20, or 60 mg/kg daily, as well as the comparative effects of other antiarthritis drugs including dexamethasone (0.5 mg/kg daily), methotrexate (1 mg/kg three times weekly) and an anti-TNF agent (5 mg/kg weekly), were assessed in the Tg197 mice. Treatment was initiated before the onset of arthritis and was continued for 5 wk. A significant improvement in clin. symptoms was found in all three diacerein treated groups in comparison with untreated groups. Confirming these data, semiquant. histopathol. anal. of the hind paws revealed a significant reduction not only in cartilage destruction but also in the extent of synovitis and bone erosion in diacerein treated groups in comparison with untreated groups. At the most ED tested (2 mg/kg daily), diacerein inhibited the onset of arthritis in 28% and attenuated the progression of arthritis in 35% of the Tg197 mice. Comparative analyses showed diacerein to be more



potent than methotrexate but not as effective as dexamethasone or anti-TNF agents in suppressing the progression of the TNF mediated arthritis in this model. These results indicate that diacerein has a disease modifying effect on the onset and progression of TNF driven chronic inflammatory arthritis, suggesting that the prophylactic or therapeutic potential of diacerein in patients with RA should be further examined

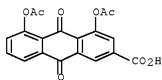
IT 13739-02-1, Diacerein

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(attenuation of inflammatory polyarthritis in TNF transgenic mice by diacerein compared with dexamethasone, methotrexate and anti-TNF protocols)

RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo- (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 74 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1985:203769 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 102:203769

ORIGINAL REFERENCE NO.: 102:31929a,31932a

TITLE: Tetrahydroanthracene derivatives

PATENT ASSIGNEE(S): Sanraku-Ocean Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

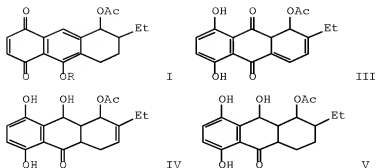
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 59212444	A	19841201	JP 1983-86539	19830519 <--
JP 03072052	B	19911115		
PRIORITY APPLN. INFO.:			JP 1983-86539	19830519 <--
OTHER SOURCE(S):		CASREACT 102:203769		
ED Entered STN:		15 Jun 1985		
GI				



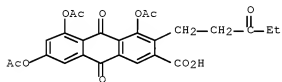
AB Tetrahydroanthracene derivs. I (R = H, Me<sub>3</sub>CCO, Ac) were prepared. Thus, a mixture of 21.5 g MeCH:CEtCHO, 50 mL H<sub>2</sub>C:CMEOAc and 250 mg 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H was refluxed 8 h to give 82% AcOCH:CEtCH:CH<sub>2</sub> (II). Refluxing 4.4 mL II with 2 g naphthazarin in CH<sub>2</sub>Cl<sub>2</sub> under N gave 99% III. Reduction of 13 g III with 744 mg NaBH<sub>4</sub> in THF at 0° gave 94% IV. Further reduction of 5 g IV with H in EtOAc containing 0.5 g PtO<sub>2</sub> gave 95% V. Reaction of 2 g V with 3.3 g F<sub>3</sub>CCO<sub>2</sub>H in pyridine 30 min at -10° under N, addition of 4 g triethylenediamine, and heating the mixture 30 min at 50° gave 83% I (R = H).

IT 92838-38-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 92838-38-5 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5,7-tris(acetyloxy)-9,10-dihydro-9,10-dioxo-3-(3-oxopentyl)- (CA INDEX NAME)



L92 ANSWER 75 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1985:131777 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 102:131777

ORIGINAL REFERENCE NO.: 102:20671a, 20674a

TITLE: A general and regiospecific route to tetracyclic alkenes in the 11-deoxyanthracyclinone series.  
Application to the total synthesis of  
(±)-auramycinone

AUTHOR(S): Gesson, Jean Pierre; Jacquesy, Jean Claude; Renoux, Brigitte

CORPORATE SOURCE: Lab. Chim. XII, Fac. Sci., Poitiers, 86022, Fr.

SOURCE: Tetrahedron (1984), 40(22), 4743-50

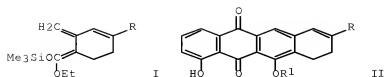
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 20 Apr 1985

GI

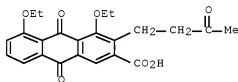


AB Ketene acetals I (R = Me, Et) were prepared from Hagemann's ester. Their cycloaddn. with juglone derivs. gave 11-deoxytetracyclic alkenes II (R1 = H, Et). Furthermore the first total synthesis of (±)-auramycinone has been completed via II (R1 = H) in only 9 overall steps from juglone.

IT 95455-49-5F  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation, chlorination, and reaction of, with diazomethane)

RN 95455-49-5 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-diethoxy-9,10-dihydro-9,10-dioxo-3-(3-oxobutyl)- (CA INDEX NAME)



L92 ANSWER 76 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:610819 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 101:210819

ORIGINAL REFERENCE NO.: 101:31935a,31938a

TITLE: Regiospecific total synthesis of  
 (±)-2-hydroxyaklavinone

AUTHOR(S): Tanaka, Hiroshi; Yoshioka, Takeo; Shimauchi, Yasutaka; Yoshimoto, Akihiro; Ishikura, Tomoyuki; Naganawa, Hiroshi; Takeuchi, Tomio; Umezawa, Hamao

CORPORATE SOURCE: Cent. Res. Lab., Sanraku-Ocean Co., Ltd., Fujisawa, 251, Japan

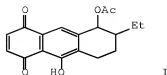
SOURCE: Tetrahedron Letters (1984), 25(31), 3351-4

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



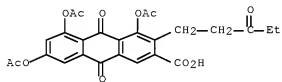
AB The tricyclic quinone I was successfully synthesized from naphthazalin, and used for the regiocontrolled total synthesis of (±)-2-hydroxyaklavinone, which was accomplished in an overall yield of .apprx.18%.

IT 92838-38-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and Arndt-Eistert reaction of)

RN 92838-38-5 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5,7-tris(acetyloxy)-9,10-dihydro-9,10-dioxo-3-(3-oxopentyl)- (CA INDEX NAME)



L92 ANSWER 77 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:34313 HCAPLUS Full-text

DOCUMENT NUMBER: 100:34313

ORIGINAL REFERENCE NO.: 100:5319a,5322a

TITLE: Synthetic anthracyclinones. XXIII. Synthesis and

configuration of the stereoisomeric aklavinones

Krohn, Karsten

AUTHOR(S):

CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Braunschweig,

Braunschweig, D-3300, Fed. Rep. Ger.

SOURCE: Liebigs Annalen der Chemie (1983), (12),

2151-63

CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE:

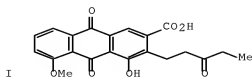
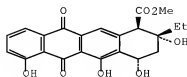
Journal

LANGUAGE:

German

ED Entered STN: 12 May 1984

GI

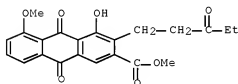


AB Racemic alkalvinone (I) and three of its stereoisomers were prepared via Arndt-Eistert homologation of the anthraquinonecarboxylic acid II, followed by cyclization, then, e.g., hydroxylation, via bromination, of the new ring formed.

IT 88365-14-4F  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 88365-14-4 HCAPLUS

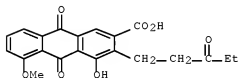
CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4-hydroxy-5-methoxy-9,10-dioxo-3-(3-oxopentyl)-, methyl ester (CA INDEX NAME)



IT 88365-13-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation, esterification, and reaction with thionyl chloride)

RN 88365-13-3 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4-hydroxy-5-methoxy-9,10-dioxo-3-(3-oxopentyl)- (CA INDEX NAME)



L92 ANSWER 78 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:34294 HCAPLUS Full-text

DOCUMENT NUMBER: 100:34294

ORIGINAL REFERENCE NO.: 100:5311a,5314a

TITLE: Anthracenetetracarboxylic acid dianhydrides

PATENT ASSIGNEE(S): Matsushita Electric Industrial Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

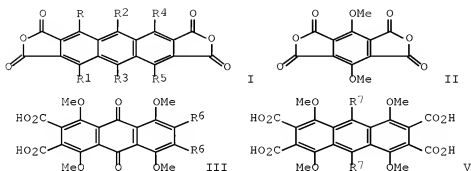
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58124790	A	19830725	JP 1982-8356	19820121 <--

PRIORITY APPLN. INFO.:  
 ED Entered STN: 12 May 1984  
 GI

JP 1982-8356

19820121 &lt;--



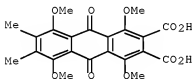
AB Title compds. (I; R-R5 = H, OH, halo, alkoxy, AcO, cyano) were prepared Thus, heating II with 2,3,6-Me(OMe)2C6H2Me in H2SO4 gave III (R6 = Me), oxidation of which with KMnO4 gave III (R6 = CO2H) (IV). Heating IV with Zn in aqueous NaOH gave V (R7 = OH), methylation of which followed by heating with Ac2O gave I (R-R5 = MeO).

IT 87998-38-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and oxidation of)

RN 87998-38-7 HCAPLUS

CN 2,3-Anthracenedicarboxylic acid, 9,10-dihydro-1,4,5,8-tetramethoxy-6,7-dimethyl-9,10-dioxo- (CA INDEX NAME)



L92 ANSWER 79 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:6119 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 100:6119

ORIGINAL REFERENCE NO.: 100:1047a,1050a

TITLE: Anthraquinonetetracarboxylic acid dianhydrides

PATENT ASSIGNEE(S): Matsushita Electric Industrial Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

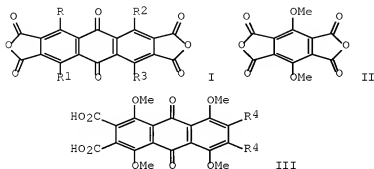
DATE

JP 58124789  
 PRIORITY APPLN. INFO.:  
 ED Entered STN: 12 May 1984  
 GI

A 19830725

JP 1982-8063  
 JP 1982-8063

19820120 <--  
 19820120 <--



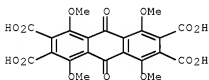
AB Title compds. (I; R-R3 = H, OH, halo, alkoxy, AcO, cyano) were prepared Thus, stirring II with 2,3,6-Me(OMe)2C6H2Me in H2SO4 at 120° gave III (R4 = Me), oxidation of which with KMnO4 gave III (R4 = CO2H) (IV). Heating IV in Ac2O gave I (R-R3 = MeO).

IT 87998-39-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and anhydride formation of)

RN 87998-39-8 HCAPLUS

CN 2,3,6,7-Anthracenetetracarboxylic acid,  
 9,10-dihydro-1,4,5,8-tetramethoxy-9,10-dioxo- (CA INDEX NAME)

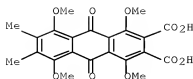


IT 87998-38-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oxidation of)

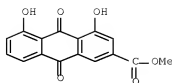
RN 87998-38-7 HCAPLUS

CN 2,3-Anthracenedicarboxylic acid, 9,10-dihydro-1,4,5,8-tetramethoxy-6,7-  
 dimethyl-9,10-dioxo- (CA INDEX NAME)

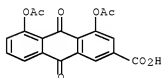


L92 ANSWER 123 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1961:131155 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 55:131155  
 ORIGINAL REFERENCE NO.: 55:24699b-h  
 TITLE: Metabolic products of fungi. XVIII. Structure of lumiluteoskyrin  
 AUTHOR(S): Shibata, Shoji; Kitagawa, Isao  
 CORPORATE SOURCE: Univ. Tokyo  
 SOURCE: Chemical & Pharmaceutical Bulletin (1961), 9, 352-7  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 ED Entered STN: 22 Apr 2001  
 GI For diagram(s), see printed CA Issue.  
 AB cf. ibid. 8, 889(1960); cf. CA 55, 23463b. Sunlight irradiation of 26 g. luteoskyrin (I) in Me2CO (several days) yielded 0.6 g. lumiluteoskyrin (II), purple rhombic crystals, m. above 360°. That this photoreaction was oxidative was shown by its failure to occur in a CO2 or N atmospheric. The ultraviolet and visible absorption of II was parallel to that of naphthazarin and shikonin (curves shown) (Brockmann, CA 30, 10502). Heating 0.2 g. II 7 hrs. at 315-20 in vacuo and chromatographing the red sublimate thus obtained separated 40 mg. islandicin (III), m. 216°, and 35 mg. catenarin, m. 247-9°. The brown sublimate (obtained with the red) was resublimed in vacuo to give (probably) dianhydrolumiluteoskyrin, m. above 360°, shown by infrared absorption (1601 cm.-1) to contain chelated CO and no free OH. Thus, the C skeleton of I was retained in II, but the arrangement of the double bonds was changed to stabilize II against reductive cleavage of the 2 tricyclic moieties from each other. Acetylation of 0.1 g. II by standing 2 weeks at room temperature with AcCl in AcOH, and chromatography of the product gave the di- (IV) and tetraacetates (V), purple rods and small red crystals, resp., both m. above 360°, sky blue and purple, resp., with Mg(OAc)2 in EtOH. The ultraviolet and visible absorption spectra of IV and V showed the hypsochromic effect of acetylation of the conjugated OH groups. I (0.3 g.) similarly acetylated and the product irradiated also yielded 0.14 g. IV and a small amount of V. Acetylation of 0.1 g. II with 3.5 cc. Ac2O and 2 drops concentrated H2SO4 gave its hexaacetate, orange-red prisms, m. 285° (dark at 262°). The formula proposed for II was supported by all these results, and the double bond linkage between the 1,1'-C atoms was supported by the reductive cleavage of 0.1 g. II in MeOH (heated 2.5 hrs. with 7% HCl and Zn) to give III.  
 IT 6155-37-9 13739-02-1  
 (Derived from data in the 6th Collective Formula Index (1957-1961))  
 RN 6155-37-9 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dihydroxy-9,10-dioxo-, methyl ester (CA INDEX NAME)





RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)

L92 ANSWER 124 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1961:76037 HCAPLUS Full-text

DOCUMENT NUMBER: 55:76037

ORIGINAL REFERENCE NO.: 55:14402h-i,14403a-d

TITLE: 11,12-Dimethylene-9,10-dihydro-9,10-ethanoanthracene

AUTHOR(S): Meek, John S.; Stacy, Richard D.

CORPORATE SOURCE: Univ. of Colorado, Boulder

SOURCE: Journal of Organic Chemistry (1961), 26,  
300-2

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 55:76037

ED Entered STN: 22 Apr 2001

AB 11,12-Dimethylene-9,10-dihydro-9,10-ethanoanthracene (I) was synthesized and found to undergo the Diels-Alder reaction as well as free radical polymerization. A trans reduction of a C-C double bond by LiAlH<sub>4</sub> was discovered in the reduction of Me 9,10-dihydro-9,10-ethanoanthracene-11,12-dicarboxylate (II) to dl-2,3-(9,10-anthrylene)-1,4-butanediol (III). Anthracene (1.78 g.) in 20 ml. xylene refluxed 43 hrs. with 4.3 g. butynediol gave 1.5 g. anthracene and 3.6 g. water-soluble material. When the same amts. of materials were heated 12 hrs. in a sealed tube with C<sub>6</sub>H<sub>6</sub> at 200° 3.81 g. of the diol was recovered together with 1.79 g. crude anthracene. II (13 g.) in 150 ml. tetrahydrofuran at -5° reduced by dropwise addition of 1.8 g. LiAlH<sub>4</sub> during 1 hr., and the temperature kept 3 hrs. at -3° gave 1.61 g. III, m. 198-200° (C<sub>6</sub>H<sub>6</sub>); bis(phenylurethan), m. 251-3° (MeCN). The fumaric acid adduct of anthracene reduced as directed gave III. Anthracene (20 g.) heated 24 hrs. at 180-5° in a sealed tube with 45 ml. cis-2-butene-1,4-diol gave 24 g. meso-2,3-(9,10-anthrylene)-1,4-butanediol (IV), m. 222-5° (MeOH). III and IV were converted to their p-toluenesulfonates and both sulfonates were treated with 7% alc.-NaOH; III failed to give a satisfactory product. IV p-toluenesulfonate (30 g.) in 450 ml. 7% alc. NaOH refluxed 13 hrs. gave 16.7 g. product. This product chromatographed on Al<sub>2</sub>O<sub>3</sub> gave 61% 9,10,11,12,13,14-hexahydrofuran[3',4':9,10]anthracene, m. 180-2° (MeCN), and 29% crude I, m.

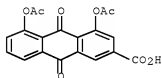
151-3° (alc.). Hydrogenation of 0.1 g. I in alc. over 10% Pd-C in 10 min. gave 87 mg. cis-11,12-dimethyl-9,10-dihydro-9,10-ethanoanthracene. The appropriate diol (0.117 g.) and 0.278 g. maleic anhydride heated 24 hrs. in C6H6 gave 0.128 g. 1,2-(9,10-anthrylene)-cyclohexene-cis-4,5-dicarboxylic anhydride, m. 251-2° (decomposition) (Me2CO-ligroine). I (1 g.) upon ozonization gave 125 mg. 9,10-dihydro-9,10-ethanoanthracene-11,12-dione (V), m. 205-7°; quinazoline derivative m. 298-9.5°. V on treatment with H2O2 gave decolorization of the dione and further heating gave 34% of a yellow anthraquinone. I was polymerized with heptyl mercaptan to give a product, m. 185-95°. Diluting a solution in C6H6 gave 7 fractions totaling 1.05 g. with mol. wts. ranging from 2200 to 652.

IT 13739-02-1 109650-18-2 113163-71-6

(Derived from data in the 6th Collective Formula Index (1957-1961))

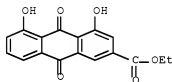
RN 13739-02-1 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-  
(CA INDEX NAME)



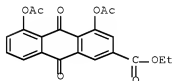
RN 109650-18-2 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dihydroxy-9,10-dioxo-, ethyl ester (CA INDEX NAME)



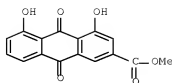
RN 113163-71-6 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-, ethyl ester (CA INDEX NAME)



## Serial No.:10/591,157

ACCESSION NUMBER: 1961:76035 HCAPLUS Full-text  
 DOCUMENT NUMBER: 55:76035  
 ORIGINAL REFERENCE NO.: 55:14401i,14402a-f  
 TITLE: Special chemical components of commercial woods and related plant materials. IX. Morindonin, a new glycoside of morindone  
 AUTHOR(S): Balakrishna, S.; Seshadri, T. R.; Venkataramani, B.  
 CORPORATE SOURCE: Univ. Delhi  
 SOURCE: J. Sci. and Ind. Research (India) (1960), 19B(No. 11), 433-6  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 ED Entered STN: 22 Apr 2001  
 GI For diagram(s), see printed CA Issue.  
 AB cf. CA 54, '7663g. A diglucoside of morindone (I, R1, R2, R3 = H) was isolated from the root bark of Morinda tinctoria and was named morindonin (I, R2 = R3 = H, R1 = Cl2H21O10). Fresh root bark (1.2 kg.) was repeatedly extracted with boiling EtOH; the exts., when cooled, deposited morindonin, orange-yellow prisms, m. 255-6° (decomposition) (66% EtOH). The best yields were obtained with root barks from trees 3-5 yrs. old. Trees older than 7 yrs. did not contain any glycoside. Morindonin (500 mg.) was refluxed 10 hrs. with 100 ml. 7% ethanolic H2SO4. Distillation of EtOH and addition of cold H2O to the residue gave 217 mg. morindone, red needles, m. 280-1° (HOAc); acetate derivative, yellow needles, m. 250-1° (HOAc). The aqueous filtrate was neutralized (BaCO3), filtered, the filtrate passed through anion and cation exchange resins, and concentrated to 25 ml. The concentrated filtrate gave an osazone, m. 204°, identical with glucosazone, and when subjected to circular paper chromatography gave only one ring (identified as that of glucose). Morindonin (45 mg.), 3 ml. Ac2O, and 0.5 ml. pyridine refluxed 2 hrs. and poured onto ice gave the acetate of morindonin, yellow needles, m. 252-3° (HOAc). Analysis showed the presence of 9 hydroxyl groups. A 10% EtOH solution of morindonin (200 mg.) was hydrolyzed by emulsin (37°, 4 days) to give morindone and glucose. Morindonin was therefore considered to be a gentiobioside of morindone. Dimethyl sulfate (0.25 ml.) and 200 mg. ignited K2CO3 were added to 100 g. morindonin in dry 300 ml. acetone. The mixture was refluxed 80 hrs., filtered, and the acetone distilled. To the residue was added 50 ml. 7% ethanolic H2SO4; the mixture was boiled 10 hrs., EtOH removed in vacuo, and H2O added to give I (R1 = H, R2 and R3 = Me) (III), yellow needles, m. 219-20° (EtOH). This established the presence of a disaccharide unit in the 6-position of morindonin. The structure of III was proved as follows. To morindone (190 mg.) in 150 ml. dry acetone was added 4-MeC6H4SO2Cl and K2CO3. The solution was refluxed 6 hrs., filtered, the K salts washed (acetone), then treated with dilute HCl to give 150 mg. 6-tosyl ester of morindone (I, R1 = 4-MeC6H4SO2, R2 = R3 = H) (IV), orange-yellow, m. 201-2° (MeOH-CHCl3), insol. in aqueous Na2CO3. To IV in dry acetone was added Me2SO4 and K2CO3. The solution was refluxed 40 hrs., filtered, acetone distilled and H2O added to give, after 48 hrs., I (R1 = 4-MeC6H4SO2, R2 = R3 = Me) (V), m. 191-2° (MeOH-CHCl3). V was boiled 20 min. with 10% methanolic KOH, cooled, acidified with dilute HCl, and cooled to precipitate III, yellow needles, m. 219-20°, soluble in aqueous Na2CO3.  
 IT 6155-37-9  
 (Derived from data in the 6th Collective Formula Index (1957-1961))  
 RN 6155-37-9 HCAPLUS  
 CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4,5-dihydroxy-9,10-dioxo-, methyl ester (CA INDEX NAME)



L92 ANSWER 126 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1961:56837 HCAPLUS  
 DOCUMENT NUMBER: 55:56837  
 ORIGINAL REFERENCE NO.: 55:10905e-1,10906a  
 TITLE: Blue disperse anthraquinone dyes  
 INVENTOR(S): Bucheler, Paul  
 PATENT ASSIGNEE(S): Sandoz Ltd.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2967752		19610110	US 1959-813616	19590518 <--
DE 1117243			DE	
GB 889814			GB	

ED Entered STN: 22 Apr 2001

AB Blue disperse anthraquinone dyes, suitable for dyeing and printing cellulose esters, ethers, and linear aromatic polyester fibers; are fast to light, washing, heat setting, pleating, and perspiration. A mixture of 1,5-diamino-2-cyano-4,8-dihydroxyanthraquinone 7, PrOH 40, and 96% H<sub>2</sub>SO<sub>4</sub> 28 parts, is stirred at 100° for 24 hrs., the reaction mixture poured into H<sub>2</sub>O 500 parts, the precipitate filtered, the residue washed with H<sub>2</sub>O until neutral, and dried in vacuo at 40°, gave the Pr ester of 1,5-diamino-4,8-dihydroxyanthraquinone-2-carboxylic acid (I). I 1, is ground with di-Na dinaphthylmethanedisulfonate (II) 1, and H<sub>2</sub>O 8 parts to a fine dispersion, and added to a solution of 2-OHC<sub>6</sub>H<sub>4</sub>Ph 2 in highly sulfonated castor oil 2 and H<sub>2</sub>O 3000 parts. Polyester fabric 100 parts is introduced into the dyebath at 60°, the dyebath brought to the boil in 20 min., the dyeing continued at 60° for 1 hr., the fabric removed, rinsed with H<sub>2</sub>O, treated 15 min. at 70° in a bath containing a solution of an alkylphenyl polyglycol ether 1.5 in H<sub>2</sub>O 3000 parts, and the fabric rinsed and dried to give a greenish blue shade. A mixture of I 5, C<sub>6</sub>H<sub>6</sub> 40, and a 2% ethereal solution of CH<sub>2</sub>N<sub>2</sub> 50 parts is stirred at 25° for 15 hrs., the solution added to petr. ether 250 parts, and the precipitate filtered and dried gave the Me ester (II) of I. A mixture of II 1, Na lignosulfonate 1, and H<sub>2</sub>O 8 parts is ground to a fine dispersion, added to a solution of Marseilles soap 6 and H<sub>2</sub>O 3000 parts, the secondary cellulose acetate fabric 100 parts added, the temperature of the dyebath increased to 80° in 30 min., maintained at 80° for 1 hr., the fabric removed, washed with H<sub>2</sub>O, and dried, to give a blue shade. To a mixture of 1,5-dinitroanthraquinone-2-carboxylic acid 12, 100% H<sub>2</sub>SO<sub>4</sub> 185, powdered S 6, and H<sub>3</sub>BO<sub>3</sub> 10 parts, is added dropwise at 50-5° oleum containing 66% SO<sub>3</sub> 30 parts, the reaction mass stirred 24 hrs. at 120°, and run into H<sub>2</sub>O 1500 parts, filtered, washed with H<sub>2</sub>O, and dried to give I. A mixture of I 7, 83% H<sub>3</sub>PO<sub>4</sub> 50, and EtOH 15 parts is stirred for 15 hrs. at 100°, the reaction mass added to H<sub>2</sub>O 500 parts, the precipitate filtered, washed with H<sub>2</sub>O, stirred with 1% NH<sub>4</sub>OH 500 parts for 30 min., filtered and washed with H<sub>2</sub>O until neutral, and

dried to give the Et ester (III) of I. A mixture of III 1, H<sub>2</sub>O 8, and II 1 part was ground to fine dispersion, the mixture poured into a blind dyebath set with H<sub>2</sub>O 3000 and a sulfonated fatty alc. 6 parts to give a blue dye. Similarly, Et 1,8-diamino-4,5-dihydroxyanthraquinone-2-carboxylate gave a blue dye.

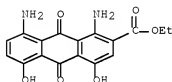
IT 103981-75-5P, 2-Anthraquinonecarboxylic acid,  
1,8-diamino-4,5-dihydroxy-, ethyl ester

RL: PREP (Preparation)

(preparation of)

RN 108981-75-5 HCAPLUS

CN 2-Anthracenecarboxylic acid, 1,8-diamino-9,10-dihydro-4,5-dihydroxy-9,10-dioxo-, ethyl ester (CA INDEX NAME)



L92 ANSWER 127 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1961:43180 HCAPLUS Full-text

DOCUMENT NUMBER: 55:43180

ORIGINAL REFERENCE NO.: 55:8364a-f

TITLE: Synthesis of 1-hydroxy-8-methoxyanthraquinone-3-carboxylic acid

AUTHOR(S): Bellaart, A. C.; Koningsberger, C.

CORPORATE SOURCE: Tech. Univ., Eindhoven, Neth.

SOURCE: Recueil des Travaux Chimiques des Pays-Bas et de la Belgique (1960), 79, 1289-92

CODEN: RTCPB4; ISSN: 0370-7539

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 22 Apr 2001

AB The structure of monomethylrhein, previously (CA 54, 19617i) obtained by the action of Me<sub>2</sub>SO<sub>4</sub> on the Na salt of synthesized rhein monoglucoside, was confirmed by synthesis as 1-hydroxy-8-methoxyanthraquinone-3-carboxylic acid (I). From 2,3-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>OH was prepared (according to Leffler and Graybill, CA 54, 6274d) 3-methoxyphthalic anhydride (II). To 20 g. II in 200 ml. m-cresol was added (during 0.5 hr., with stirring) 40 g. powdered anhydrous AlCl<sub>3</sub> at 116°, the mixture kept 3 hrs. at 115-17°, cooled to room temperature, treated with 400 ml. 10% aqueous HCl, heated 0.5 hr. on a H<sub>2</sub>O bath, steam distilled, and the residue recrystd. several times from C<sub>6</sub>H<sub>6</sub> to give 10 g. 3,2-MeO-[4,2-Me(HO)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>3</sub>CO<sub>2</sub>H (III), m. 209.5-10.5°; from the combined mother liquors was obtained 2 g. unidentified compound, m. 221-2°. B(OH)8 (4 g.) and 6 ml. concentrated H<sub>2</sub>SO<sub>4</sub> heated until a clear melt was obtained, the solution cooled and treated with 4 g. III, the mixture stirred 0.5 hr., cooled in an ice salt bath, treated with 40 ml. 25% oleum at 35-40°, kept 1 hr. at 30°, poured over crushed ice, and extracted with C<sub>6</sub>H<sub>6</sub>, the extract washed with 5% aqueous Na<sub>2</sub>CO<sub>3</sub> and H<sub>2</sub>O and evaporated, and the residue recrystd. several times (dilute EtOH-C) gave 250 mg. 1-hydroxy-8-methoxy-3-methylanthraquinone (IV), m. 194-5°. IV (125 mg.) in 25 ml. AcOH refluxed 5 hrs. with 6 ml. constant boiling HBr, the solution filtered hot, and the filtrate cooled gave 75 mg. chrysophanol (1,8-dihydroxy-3-methylanthraquinone), m.p. and mixed m.p. 193-4°

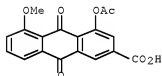
(80% AcOH). Concentrated H<sub>2</sub>SO<sub>4</sub> (0.1 ml.) added to 500 mg. IV and 10 ml. Ac<sub>2</sub>O, after 12 hrs. the mixture treated with H<sub>2</sub>O, and the precipitate collected, washed with 3 10-ml. portions H<sub>2</sub>O, and recrystd. from Ac<sub>2</sub>O gave 300 mg. 1-acetoxy-8-methoxy-3-methylanthraquinone (V), m. 197-8°. CrO<sub>3</sub> (0.8 g.) in 0.5 ml. H<sub>2</sub>O diluted with 7 ml. 1:1 AcOH-Ac<sub>2</sub>O, added within 15 min. to 500 mg. V in 7.5 ml. AcOH and 7.5 ml. Ac<sub>2</sub>O at 55°, the solution heated 1 hr. on a H<sub>2</sub>O bath, concentrated to 1/4 its volume, and diluted with 30 ml. H<sub>2</sub>O, the resulting precipitate taken up in 50 ml. EtOAc, the organic layer extracted with 5% aqueous NaHCO<sub>3</sub>, and the extract acidified with 10% HCl gave 50 mg. 1-acetoxy-8-methoxyanthraquinone-3-carboxylic acid (VI), m.p. and mixed m.p. 228-9° (decomposition) (EtOH). VI (100 mg.) moistened with 2 drops MeOH and treated with 2 ml. 2N NaOH, the mixture heated 2 hrs. at 55°, acidified with 10% HCl, heated 15 min. at 100°, and cooled gave 60 mg. I, m.p. and mixed m.p. 315-17° (AcOH).

IT 101875-41-6

(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 101875-41-6 HCAPLUS

CN 2-Anthracenecarboxylic acid, 4-(acetyloxy)-9,10-dihydro-5-methoxy-9,10-dioxo- (CA INDEX NAME)



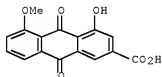
IT 3300-26-3P, 2-Anthraquinonecarboxylic acid, 4-hydroxy-5-methoxy-

RL: PREP (Preparation)

(preparation of)

RN 3300-26-3 HCAPLUS

CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4-hydroxy-5-methoxy-9,10-dioxo- (CA INDEX NAME)



L92 ANSWER 128 OF 139 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1961:43179 HCAPLUS Full-text

DOCUMENT NUMBER: 55:43179

ORIGINAL REFERENCE NO.: 55:8363g-i,8364a

TITLE: A new synthesis of catenarin and erythroglaucon  
Chandrasenan, K.; Neelakantan, S.; Seshadri, T. R.

CORPORATE SOURCE: Univ. Delhi

SOURCE: Proceedings - Indian Academy of Sciences, Section A (1960), 51A, 296-300

CODEN: PISAA7; ISSN: 0370-0089

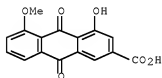
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LANGUAGE:

Unavailable

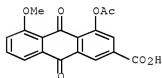
ED Entered STN: 22 Apr 2001

- AB Following the suggested path of biogenesis, 1.3 g. 3,5-dimethoxy-2-(2-hydroxy-4-methylbenzoyl)benzoic acid (I) in 40 ml. H<sub>2</sub>O was subjected to p-nuclear oxidation by adding 1.9 g. K<sub>2</sub>S<sub>2</sub>O<sub>8</sub>. After acidification to Congo red and removal of unreacted I, addition of 1 g. Na<sub>2</sub>SO<sub>3</sub> and 16 ml. concentrated HCl produced (after being heated 20 min.) 1.7 g. 3,5-dimethoxy-2-(2,5-dihydroxy-4-methylbenzoyl)benzoic acid (II), light-brown, m. 234-5° (EtOH). II (1.5 g.) heated with 1.5 g. H<sub>3</sub>BO<sub>3</sub> and 25 ml. concentrated H<sub>2</sub>SO<sub>4</sub> to 70°, 3.8 ml. fuming H<sub>2</sub>SO<sub>4</sub> added and after 1 hr. at 70° the mixture cooled gave 1.2 g. 1,4-dihydroxy-5,7-dimethoxy-2-methylanthraquinone (III), red, m. 212-13° (EtOH). III (0.2 g.) boiled 6 hrs. with 1 g. Me<sub>2</sub>SO<sub>4</sub> and 2 g. K<sub>2</sub>CO<sub>3</sub> in Me<sub>2</sub>CO yielded 0.15 g. catenarin tetra-Me ether, yellow, m. 190-1° (Me<sub>2</sub>CO). III (0.5 g.) refluxed with 50 ml. glacial HOAc and 75 ml. HBr (d. 1.8) 20 hrs. yielded 0.25 g. catenarin (1,4,5,7-tetrahydroxy-2-methylanthraquinone) (IV), red, m. 245-6° (EtOH). IV with Ac<sub>2</sub>O gave the acetate of IV, yellow, m. 234-5° (EtOAc). III (0.3 g.) refluxed with 30 ml. glacial HOAc and 45 ml. HBr 2 hrs. yielded 0.2 g. erythroglaucin (1,4,5-trihydroxy-7-methoxy-2-methylanthraquinone), red, m. 205-6° (HOAc).
- IT 3390-26-3P, 2-Anthraquinonecarboxylic acid, 4-hydroxy-5-methoxy-101875-41-6P, 2-Anthraquinonecarboxylic acid, 4-hydroxy-5-methoxy-, acetate  
RL: PREP (Preparation)  
(preparation of)
- RN 3300-26-3 HCAPLUS
- CN 2-Anthracenecarboxylic acid, 9,10-dihydro-4-hydroxy-5-methoxy-9,10-dioxo- (CA INDEX NAME)

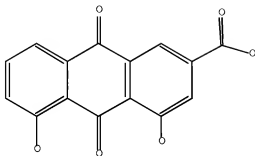


RN 101875-41-6 HCAPLUS

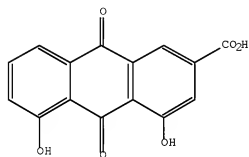
CN 2-Anthracenecarboxylic acid, 4-(acetyloxy)-9,10-dihydro-5-methoxy-9,10-dioxo- (CA INDEX NAME)



=> D STAT QUE L39  
L32 STR



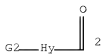
Structure attributes must be viewed using STN Express query preparation.  
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L34 STR



G1 H

Structure attributes must be viewed using STN Express query preparation.  
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L36 STR

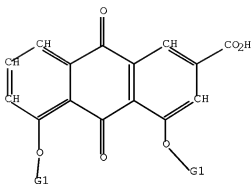




N 4 Ak-S 3

G1 H, [01], [02]

G2 O, F, CF3, [03], [04]



Structure attributes must be viewed using SIN Express query preparation.

L37 ( 16)SEA FILE=REGISTRY SUB=L33 SSS FUL L36

L38 ( 2)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L37 NOT L35

L39 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L38

=> S L39 NOT L50,L81,L78,L90

L94 0 L39 NOT (L50 OR L81 OR L78 OR L90)

## Search History

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L2      265 SEA SSS FUL L1
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      ACT ST0157STRB/A
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L3      STR
L4 (    265)SEA SSS FUL L3
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L6      79 SEA SUB=L4 SSS FUL L5
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L7      STR
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L10     34 SEA SUB=L8 SSS FUL L9
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L11     STR
L12 (    265)SEA SSS FUL L11
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L14     46 SEA SUB=L12 SSS FUL L13
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L19     STRUCTURE UPLOADED
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L23     STRUCTURE UPLOADED
L24     3 SEA SUB=L22 SSS SAM L23
L25     78 SEA SUB=L22 SSS FUL L23

FILE 'MARPAT' ENTERED AT 14:39:29 ON 15 DEC 2008
L26     STRUCTURE UPLOADED
L27     5 SEA SUB=L22 SSS SAM L26
L28     109 SEA SUB=L22 SSS FUL L26
L29     0 SEA SPE=ON ABB=ON PLU=ON L25 NOT L28

FILE 'MARPAT' ENTERED AT 15:30:21 ON 15 DEC 2008
L30     77 SEA SPE=ON ABB=ON PLU=ON L22 NOT L28
L31     0 SEA SPE=ON ABB=ON PLU=ON L25 AND L30

FILE 'HCAPLUS' ENTERED AT 15:38:02 ON 15 DEC 2008
      ACT ST0157HC1A/A
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L32     STR

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 L34 STR  
 L35 ( 34)SEA SUB=L33 SSS FUL L34  
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 L38 ( 2)SEA SPE=ON ABB=ON PLU=ON L37 NOT L35  
 L39 1 SEA SPE=ON ABB=ON PLU=ON L38

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 ACT ST0157HC1AU/A  
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L40 STR  
 L41 ( 265)SEA SSS FUL L40  
 L42 STR  
 L43 ( 34)SEA SUB=L41 SSS FUL L42  
 L44 STR  
 L45 ( 16)SEA SUB=L41 SSS FUL L44  
 L46 ( 2)SEA SPE=ON ABB=ON PLU=ON L45 NOT L43  
 L47 ( 1)SEA SPE=ON ABB=ON PLU=ON L46  
 L48 ( 558)SEA SPE=ON ABB=ON PLU=ON BAXTER A?/AU  
 L49 ( 0)SEA SPE=ON ABB=ON PLU=ON WALMSEY A?/AU  
 L50 1 SEA SPE=ON ABB=ON PLU=ON (L48 OR L49) AND L47

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 ACT ST0157WX1A/A  
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L51 STR  
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 L55 ( 12)SEA SSS FUL L52  
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L58 2 SEA SPE=ON ABB=ON PLU=ON US2006-591157/APPS

FILE 'REGISTRY' ENTERED AT 15:45:52 ON 15 DEC 2008

L59 18 SEA SPE=ON ABB=ON PLU=ON (110238-91-0/BI OR 13739-02-1/BI  
 OR 141-75-3/BI OR 19810-31-2/BI OR 29006-02-8/BI OR 40191-32-0/  
 BI OR 478-43-3/BI OR 5332-06-9/BI OR 5337-03-1/BI OR 57371-37-6  
 /BI OR 61882-39-1/BI OR 69595-02-4/BI OR 864652-88-0/BI OR  
 864652-89-1/BI OR 864652-90-4/BI OR 864652-91-5/BI OR 864652-92  
 -6/BI OR 89364-31-8/BI)  
 L60 110179 SEA SPE=ON ABB=ON PLU=ON ?ANTHRACENE?/CNS  
 L61 7 SEA SPE=ON ABB=ON PLU=ON L59 AND L60

FILE 'REGISTRY' ENTERED AT 16:12:45 ON 15 DEC 2008

L62 1 SEA SPE=ON ABB=ON PLU=ON "2-ANTHRACENECARBOXYLIC ACID,  
 9,10-DIHYDRO-4,5-DIHYDROXY-9,10-DIOXO-"/CN  
 L63 6 SEA SPE=ON ABB=ON PLU=ON L61 NOT L62  
 L64 2 SEA SPE=ON ABB=ON PLU=ON L63 AND ?TETRA?/CNS  
 L65 301 SEA SPE=ON ABB=ON PLU=ON ?TETRAHYDROPYRAN?/CNS AND ?DIOXO?/C  
 NS  
 L66 2 SEA SPE=ON ABB=ON PLU=ON L65 AND ?ANTHRACENE?/CNS

FILE 'HCAPLUS' ENTERED AT 16:26:05 ON 15 DEC 2008

L67 176 SEA SPE=ON ABB=ON PLU=ON L63  
 SEL RN

FILE 'REGISTRY' ENTERED AT 16:26:46 ON 15 DEC 2008

L68 FILE 'HCAPLUS' ENTERED AT 16:26:52 ON 15 DEC 2008  
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L69 FILE 'REGISTRY' ENTERED AT 16:27:03 ON 15 DEC 2008  
L70 2589 SEA SPE=ON ABB=ON PLU=ON L68  
L71 4 SEA SSS SAM L7  
L72 267 SEA SSS FUL L7  
L73 STRUCTURE UPLOADED  
L74 1 SEA SUB=L2 SSS SAM L72  
L75 34 SEA SUB=L2 SSS FUL L72  
L76 2578 SEA SPE=ON ABB=ON PLU=ON L69 NOT L74

L77 FILE 'HCAPLUS' ENTERED AT 16:32:27 ON 15 DEC 2008  
L78 987 SEA SPE=ON ABB=ON PLU=ON L74  
103 SEA SPE=ON ABB=ON PLU=ON L67 NOT L76  
73 SEA SPE=ON ABB=ON PLU=ON L77 AND (PRY<=2004 OR AY<=2004 OR  
PY<=2004)

L79 FILE 'HCAPLUS' ENTERED AT 16:45:30 ON 15 DEC 2008  
L80 558 SEA SPE=ON ABB=ON PLU=ON BAXTER A7/AU  
L81 0 SEA SPE=ON ABB=ON PLU=ON WALMSEY A7/AU  
L82 2 SEA SPE=ON ABB=ON PLU=ON (L79 OR L80) AND L78  
1166 SEA SPE=ON ABB=ON PLU=ON L2  
S L23

L83 FILE 'REGISTRY' ENTERED AT 16:47:20 ON 15 DEC 2008  
0 SEA SSS SAM L23

L84 FILE 'HCAPLUS' ENTERED AT 16:47:21 ON 15 DEC 2008  
0 SEA SPE=ON ABB=ON PLU=ON L83

L85 FILE 'REGISTRY' ENTERED AT 16:48:00 ON 15 DEC 2008  
L86 4 SEA SSS SAM L1  
L87 9 SEA SUB=L2 SSS SAM L1  
265 SEA SUB=L2 SSS FUL L1

L88 FILE 'HCAPLUS' ENTERED AT 16:48:32 ON 15 DEC 2008  
L89 1166 SEA SPE=ON ABB=ON PLU=ON L87  
L90 179 SEA SPE=ON ABB=ON PLU=ON L88 NOT L76  
141 SEA SPE=ON ABB=ON PLU=ON L89 AND (PRY<=2004 OR AY<=2004 OR  
PY<=2004)

L91 FILE 'HCAPLUS' ENTERED AT 16:51:42 ON 15 DEC 2008  
L92 3 SEA SPE=ON ABB=ON PLU=ON (L50 OR L81)  
L93 139 SEA SPE=ON ABB=ON PLU=ON L90 NOT (L50 OR L81)  
L94 0 SEA SPE=ON ABB=ON PLU=ON L78 NOT (L90 OR L50 OR L81)  
0 SEA SPE=ON ABB=ON PLU=ON L39 NOT (L50 OR L81 OR L78 OR L90)

=>